

Request Jan Delaval

Access DB# 102286

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name Jennifer Kim Examiner # 77469 Date 8/26/03
An Unit 1617 Phone Number 308-2232 Serial Number 10/004645
Mail Box and Bldg Room Location 2017 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc. if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Anilinopyrimidine derivatives a JNK Pathway inhibitors and compositions + methods related thereto.

Inventors (please provide full names): Yoshitaka et al.

Earliest Priority Filing Date 12/6/2000

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search claims 1, 4, 5, 17 + 18

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Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 - 703-308-4498
jan.delaval@uspto.gov

STAFF USE ONLY

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher <u>Jan</u>	NA Sequence (#) _____	STN <input checked="" type="checkbox"/>
Searcher Phone # <u>4498</u>	AA Sequence (#) _____	Dialog _____
Searcher Location _____	Structure (#) <input checked="" type="checkbox"/>	Quester _____
Date hearing received <u>8/27/03</u>	Bibliographic _____	Info _____
Date completed <u>8/27/03</u>	Litigation _____	Info News _____
Searcher Prep & Review Time _____	Fulltext _____	Sequence Systems _____
Client Prep Time <u>15</u>	Patent Family _____	WWW Internet _____
Indexing Time <u>15</u>	Other _____	Other Vendors _____

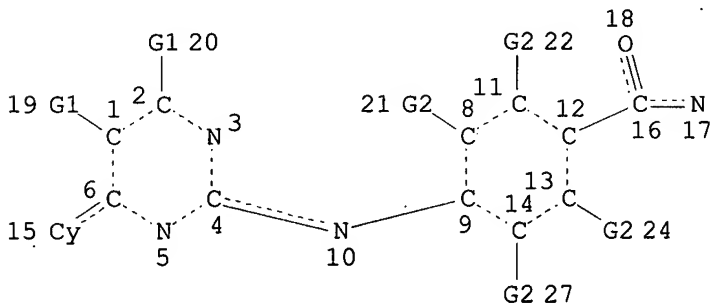
FILE 'REGISTRY' ENTERED AT 12:08:57 ON 27 AUG 2003
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STRUCTURE FILE UPDATES: 25 AUG 2003 HIGHEST RN 573649-48-6
DICTIONARY FILE UPDATES: 25 AUG 2003 HIGHEST RN 573649-48-6
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Please note that search-term pricing does apply when conducting SmartSELECT searches.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties, in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

L1 STR



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$$\begin{array}{cc} \text{O} & \text{---} & \text{Ak} \\ @25 & & 26 \end{array}$$

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VAR G1=H/AK
VAR G2=H/X/OH/AK/25
NODE ATTRIBUTES:
NSPEC      IS RC      AT 17
CONNECT IS M1 RC AT 15
CONNECT IS M1 RC AT 17
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
L3 519 SEA FILE=REGISTRY CSS FUL L1

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SEARCH TIME: 00.00.01
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519 ANSWERS

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L1 STR
L2 24 S L1 CSS
L3 519 S L1 CSS FUL
SAV L3 JKIM046/A

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L4 13 S L3
L5 2 S L4 AND (BHAGWAT ? OR YOSHITAKA ?)/AU
L6 2 S L4 AND SIGNAL?/PA,CS
L7 11 S L4 NOT L5,L6
L8 7 S L4 AND (PY<=2000 OR PRY<=2000 OR AY<=2000)
L9 7 S L5,L6,L8
L10 5 S L9 NOT L5,L6
SEL HIT RN

FILE 'REGISTRY' ENTERED AT 12:07:33 ON 27 AUG 2003

L11 9 S E1-E9

FILE 'REGISTRY!' ENTERED AT 12:08:57 ON 27 AUG 2003

=> d ide can tot l11

L11 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2003 ACS on STN

RN 403792-67-6 REGISTRY

CN Benzamide, 4-[[4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

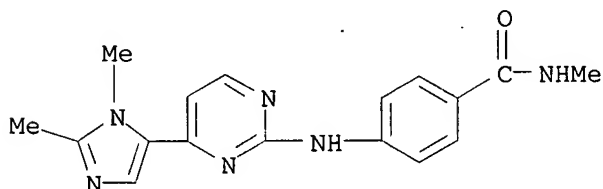
CN 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-methylcarbamoyl)anilino)pyrimidine

FS 3D CONCORD

MF C17 H18 N6 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1937 TO DATE)

1 REFERENCES IN FILE CAPLUS (1937 TO DATE)

REFERENCE 1: 136:247599

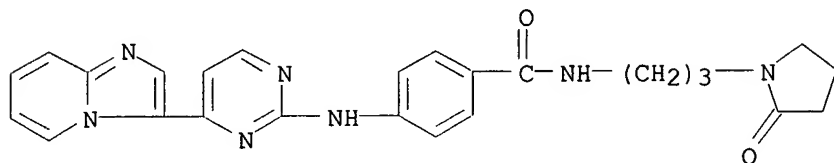
L11 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2003 ACS on STN

RN 328062-01-7 REGISTRY

CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C25 H25 N7 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



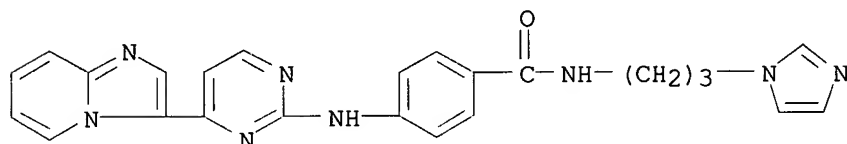
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2 REFERENCES IN FILE CA (1937 TO DATE)
2 REFERENCES IN FILE CAPLUS (1937 TO DATE)

REFERENCE 1: 137:201324

REFERENCE 2: 134:193444

L11 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2003 ACS on STN
RN 328062-00-6 REGISTRY
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H22 N8 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



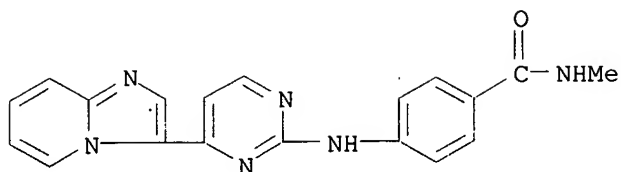
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2 REFERENCES IN FILE CAPLUS (1937 TO DATE)

REFERENCE 1: 137:201324

REFERENCE 2: 134:193444

L11 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2003 ACS on STN
RN 328061-73-0 REGISTRY
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H16 N6 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



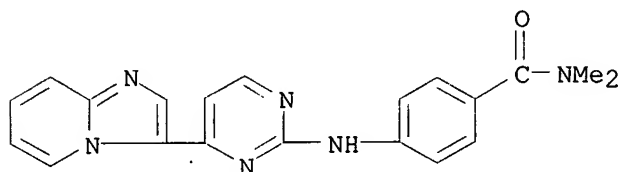
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2 REFERENCES IN FILE CA (1937 TO DATE)
2 REFERENCES IN FILE CAPLUS (1937 TO DATE)

REFERENCE 1: 137:201324

REFERENCE 2: 134:193444

L11 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2003 ACS on STN
RN **328061-72-9** REGISTRY
CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H18 N6 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



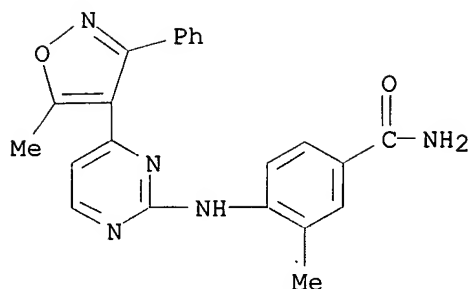
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2 REFERENCES IN FILE CA (1937 TO DATE)
2 REFERENCES IN FILE CAPLUS (1937 TO DATE)

REFERENCE 1: 137:201324

REFERENCE 2: 134:193444

L11 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2003 ACS on STN
RN **326818-24-0** REGISTRY
CN Benzamide, 3-methyl-4-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H19 N5 O2
SR CA
LC STN Files: CA, CAPLUS

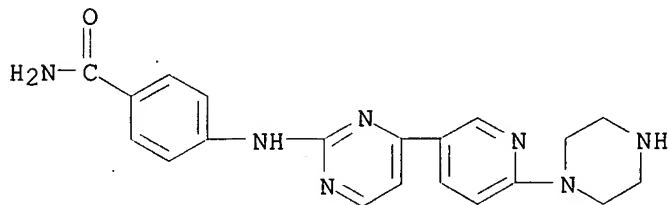


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1937 TO DATE)
1 REFERENCES IN FILE CAPLUS (1937 TO DATE)

REFERENCE 1: 134:178569

L11 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2003 ACS on STN
RN **207283-10-1** REGISTRY
CN Benzamide, 4-[[4-[6-(1-piperazinyl)-3-pyridinyl]-2-pyrimidinyl]amino]-
(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H21 N7 O
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

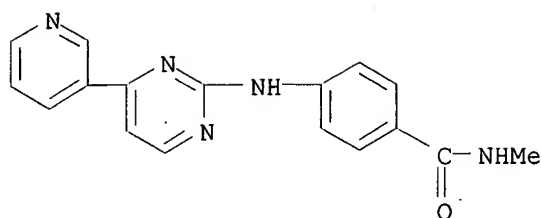


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1937 TO DATE)
1 REFERENCES IN FILE CAPLUS (1937 TO DATE)

REFERENCE 1: 129:4655

L11 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2003 ACS on STN
RN **112676-86-5** REGISTRY
CN Benzamide, N-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA
INDEX NAME)
FS 3D CONCORD
MF C17 H15 N5 O
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

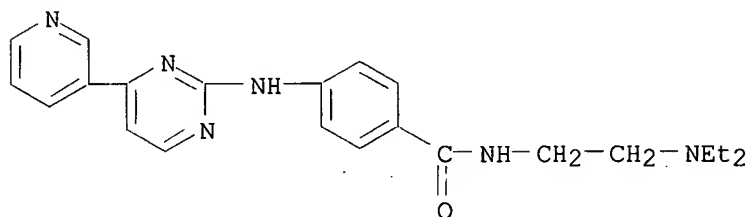


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1 REFERENCES IN FILE CA (1937 TO DATE)
1 REFERENCES IN FILE CAPLUS (1937 TO DATE)

REFERENCE 1: 108:112478

L11 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2003 ACS on STN
RN **112676-85-4** REGISTRY
CN Benzamide, N-[2-(diethylamino)ethyl]-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H26 N6 O
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1937 TO DATE)
1 REFERENCES IN FILE CAPLUS (1937 TO DATE)

REFERENCE 1: 108:112478

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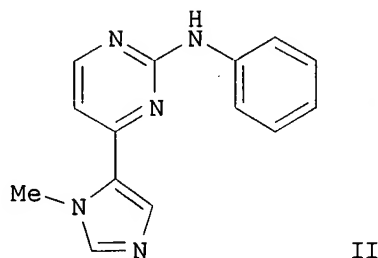
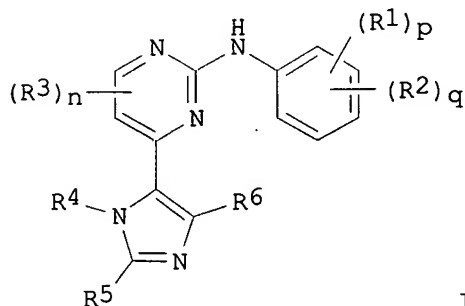
FILE COVERS 1907 - 27 Aug 2003 VOL 139 ISS 9
FILE LAST UPDATED: 25 Aug 2003 (20030825/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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L10 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:185108 HCAPLUS
DN 136:247599
TI Preparation of imidazolo-5-yl-2-anilino-pyrimidines as agents for the
inhibition of the cell proliferation
IN Breault, Gloria Anne; Newcombe, Nicholas John; Thomas, Andrew Peter
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D403-04
ICS A61P035-02
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2002020512	A1	20020314	WO 2001-GB3864	20010830	<--
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001084192	A5	20020322	AU 2001-84192	20010830	<--
	BR 2001013496	A	20030701	BR 2001-13496	20010830	<--
	NO 2003001006	A	20030304	NO 2003-1006	20030304	<--
PRAI	GB 2000-21726	A	20000905	<--		
	WO 2001-GB3864	W	20010830			
OS	MARPAT 136:247599					
GI						



- AB Title compds. I [R1 = halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, alk(en/yn)yl, alkoxy; p = 0-4; R2 = sulfamoyl, Ra-Rb; q = 0-2; p + q = 0-5; R3 = halo, nitro, cyano, hydroxy, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulfamoyl, alk(en/yn)yl, alkoxy, alkanoyl, etc.; n = 0-2, R4 = H, alk(en/yn)yl, cycloalkyl, Ph, etc.; R5-6 = H, halo, nitro, cyano, hydroxy, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulfamoyl, alk(en/yn)yl, alkoxy, etc.; Ra = alk(en/yn)yl, cycloalkyl, Ph, heterocyclyl, phenyl-alkyl, etc.; Rb = C(O), amido, carboxamido, etc.] were prep'd. For instance, phenylguanidine hydrogen carbonate was condensed with 5-(3-dimethylaminoprop-2-en-1-oyl)-1-methylimidazole (i-PrOH, NaOMe, reflux, 3 h) to give II in 64% yield. The CDK2 inhibitory activity of II was measured as IC50 = 0.146 .mu.M.
- ST imidazoloanilinopyrimidine imidazole aniline pyrimidine cell proliferation inhibitors prepn; cyclin dependent kinase inhibitor imidazole pyrimidine prepn
- IT Antitumor agents
Cytotoxic agents
Human
(imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)
- IT 150428-23-2
RL: BCP (Biochemical process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(CDK2 inhibitors; imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)
- IT 403791-03-7P, 2-Anilino-4-(2-methylimidazol-5-yl)pyrimidine
403791-04-8P, 4-(2-Methylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine
403791-05-9P, 2-Anilino-4-(1,2-dimethylimidazol-5-yl)pyrimidine
403791-13-9P, 4-(1-(2-Aminoethyl)imidazol-5-yl)-2-(3-chloroanilino)pyrimidine 403791-36-6P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-t-butoxycarbonylsulfamoyl)anilino)pyrimidine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)
- IT 403791-01-5P, 2-(3-Chloroanilino)-4-(2-methylimidazol-5-yl)pyrimidine
403791-02-6P, 2-(3-Chloroanilino)-4-(1,2-dimethylimidazol-5-yl)pyrimidine
403791-06-0P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine 403791-07-1P, 4-(1-Benzyl-2-methylimidazol-5-yl)-2-(3-chloroanilino)pyrimidine 403791-08-2P, 2-(3-Chloroanilino)-4-(1-(2-methoxyethyl)imidazol-5-yl)pyrimidine hydrochloride 403791-09-3P, 2-(3-Chloroanilino)-4-(imidazol-5-yl)pyrimidine 403791-10-6P, 2-(3-Chloroanilino)-4-(1-(2-phthalimidoethyl)imidazol-5-yl)pyrimidine
403791-11-7P, 2-(3-Chloroanilino)-4-(1-ethylimidazol-5-yl)pyrimidine
403791-12-8P, 2-(3-Chloroanilino)-4-(1-methylimidazol-5-yl)pyrimidine
403791-14-0P, 2-Anilino-4-(1-methylimidazol-5-yl)pyrimidine
403791-15-1P, 4-(1-Methylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine
403791-16-2P, 2-(4-(N-(3-Methoxypropyl)sulfamoyl)anilino)-4-(1-methylimidazol-5-yl)pyrimidine 403791-17-3P, 4-(1-Methylimidazol-5-yl)-2-(4-(N-propylsulfamoyl)anilino)pyrimidine 403791-18-4P, 2-(4-(N-(2,3-Dihydroxypropyl)sulfamoyl)anilino)-4-(1-methylimidazol-5-yl)pyrimidine 403791-19-5P, 2-(4-(N-(2-(2-Hydroxyethoxy)ethyl)sulfamoyl)anilino)-4-(1-methylimidazol-5-yl)pyrimidine 403791-20-8P, 2-(4-(N-(2-Furanylmethyl)sulfamoyl)anilino)-4-(1-methylimidazol-5-yl)pyrimidine 403791-21-9P, 2-(4-(N-(2-Hydroxyethyl)sulfamoyl)anilino)-4-(1-methylimidazol-5-yl)pyrimidine 403791-22-0P, 2-(4-(N-(Carbamoylmethyl)sulfamoyl)anilino)-4-(1-methylimidazol-5-yl)pyrimidine
403791-23-1P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(3-methoxypropyl)sulfamoyl)anilino)pyrimidine 403791-24-2P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(4-fluorobenzyl)sulfamoyl)anilino)py

rimidine 403791-25-3P, 2-(4-(N-(Cyclopropylmethyl)sulfamoyl)anilino)-4-(1,2-dimethylimidazol-5-yl)pyrimidine 403791-26-4P 403791-27-5P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(tetrahydrofuran-2-yl)methyl)sulfamoyl)anilino)pyrimidine 403791-28-6P, 2-Anilino-4-(1-ethyl-2-methylimidazol-5-yl)pyrimidine 403791-29-7P, 2-Anilino-4-(1-methyl-2-ethylimidazol-5-yl)pyrimidine 403791-30-0P, 2-Anilino-4-(1-(2,2,2-trifluoroethyl)-2-methylimidazol-5-yl)pyrimidine 403791-31-1P, 2-Anilino-4-(1,2,4-trimethylimidazol-5-yl)pyrimidine 403791-32-2P, 2-Anilino-4-(1-isopropyl-2-methylimidazol-5-yl)pyrimidine 403791-33-3P, 2-Anilino-4-(1-methyl-2-methoxymethylimidazol-5-yl)pyrimidine 403791-34-4P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-((methanesulfonyl)amino)anilino)pyrimidine 403791-35-5P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403791-37-7P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403791-38-8P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2,2-dimethyl-1,3-dioxolan-4-yl)methyl)sulfamoyl)anilino)pyrimidine 403791-39-9P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-benzyloxyethyl)sulfamoyl)anilino)pyrimidine 403791-40-2P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2,2-dimethoxyethyl)sulfamoyl)anilino)pyrimidine 403791-41-3P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(tetrahydrofuran-2-yl)methyl)sulfamoyl)anilino)pyrimidine 403791-42-4P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(3-methoxypropyl)sulfamoyl)anilino)pyrimidine 403791-43-5P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(cyclopropylmethyl)sulfamoyl)anilino)pyrimidine 403791-44-6P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)-N-methylsulfamoyl)anilino)pyrimidine 403791-45-7P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)-N-methylsulfamoyl)anilino)pyrimidine 403791-46-8P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(methanesulfonyl)anilino)pyrimidine 403791-47-9P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(3-morpholinopropyl)-N-methylsulfamoyl)anilino)pyrimidine 403791-48-0P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(3-(N,N-dimethylamino)propylsulfonyl)anilino)pyrimidine 403791-49-1P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(3,3,3-trifluoropropylsulfonyl)anilino)pyrimidine 403791-50-4P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-butylsulfonylanilino)pyrimidine 403791-51-5P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(3-methoxypropylsulfonyl)anilino)pyrimidine 403791-52-6P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-(methoxymethoxy)ethyl)sulfamoyl)anilino)pyrimidine 403791-53-7P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-cyclopropylsulfamoyl)anilino)pyrimidine 403791-54-8P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(4-methylthiazol-2-yl)methyl)sulfamoyl)anilino)pyrimidine 403791-55-9P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(3-methylisoxazol-5-yl)methyl)sulfamoyl)anilino)pyrimidine 403791-56-0P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(1,4-dioxan-2-yl)methylsulfamoyl)anilino)pyrimidine 403791-57-1P, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-propylsulfamoyl)anilino)pyrimidine 403791-58-2P, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(cyclopropylmethyl)sulfamoyl)anilino)pyrimidine 403791-59-3P, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(3-methoxypropyl)sulfamoyl)anilino)pyrimidine 403791-60-6P, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(tert-butyl)sulfamoyl)anilino)pyrimidine 403791-61-7P, 4-(1-(2-Methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403791-62-8P, 4-(1-(1-Butene-4-yl)-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403791-63-9P, 2-Anilino-5-bromo-4-(1,2-dimethylimidazol-5-yl)pyrimidine 403791-64-0P, 4-(1-Methyl-2-ethylimidazol-5-yl)-2-(4-(N-(tetrahydrofuran-2-yl)methyl)sulfamoyl)anilino)pyrimidine 403791-65-1P, 4-(1-Methyl-2-ethylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403791-66-2P, 4-(1-Methyl-2-isopropylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403791-67-3P, 4-(1-Methyl-2-isopropylimidazol-5-yl)-2-(4-(N-

(cyclopropylmethyl)sulfamoyl)anilino)pyrimidine 403791-68-4P,
4-(1-Methyl-2-isopropylimidazol-5-yl)-2-(4-(N-(tetrahydrofuran-2-ylmethyl)sulfamoyl)anilino)pyrimidine 403791-69-5P, 4-(1-Methyl-2-ethylimidazol-5-yl)-2-(4-(N-(cyclopropylmethyl)sulfamoyl)anilino)pyrimidine 403791-70-8P, 4-(1-Methyl-2-trifluoromethylimidazol-5-yl)-2-(4-(N-(tetrahydrofuran-2-ylmethyl)sulfamoyl)anilino)pyrimidine 403791-71-9P, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-tert-butyl-N-methylsulfamoyl)anilino)pyrimidine 403791-72-0P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-allylsulfamoyl)anilino)pyrimidine 403791-73-1P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-(2-methoxyethoxy)ethyl)sulfamoyl)anilino)pyrimidine hydrochloride 403791-74-2P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-(2-(2-methoxyethoxy)ethoxy)ethyl)sulfamoyl)anilino)pyrimidine 403791-75-3P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-(2-(2-(2-methoxyethoxy)ethoxy)ethoxy)ethyl)sulfamoyl)anilino)pyrimidine hydrochloride 403791-76-4P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-(methanesulfonyl)ethyl)sulfamoyl)anilino)pyrimidine 403791-77-5P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(3-morpholinopropyl)sulfamoyl)anilino)pyrimidine 403791-78-6P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-(N,N-dimethylamino)ethyl)sulfamoyl)anilino)pyrimidine 403791-79-7P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-(piperidin-1-yl)ethyl)sulfamoyl)anilino)pyrimidine 403791-80-0P, 4-(1-(2-Methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(tetrahydrofuran-2-yl)methyl)sulfamoyl)anilino)pyrimidine 403791-81-1P, 4-(1-(2-Methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(cyclopropylmethyl)sulfamoyl)anilino)pyrimidine 403791-82-2P, 4-(1-(2-Methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(3-methoxypropyl)sulfamoyl)anilino)pyrimidine 403791-83-3P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(hydroxyethyl)sulfamoyl)anilino)pyrimidine 403791-84-4P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(3-hydroxy-2,2-dimethyl-propyl)sulfamoyl)anilino)pyrimidine 403791-85-5P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(3-hydroxypropyl)sulfamoyl)anilino)pyrimidine 403791-86-6P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-allylsulfamoyl)anilino)pyrimidine 403791-87-7P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(1-propyn-3-yl)sulfamoyl)anilino)pyrimidine 403791-88-8P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2,2-dimethyl-3-hydroxypropyl)sulfamoyl)anilino)pyrimidine 403791-89-9P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(3-hydroxypropyl)sulfamoyl)anilino)pyrimidine 403791-90-2P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-ethylsulfamoyl)anilino)pyrimidine 403791-91-3P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-hydroxyethyl)sulfamoyl)anilino)pyrimidine 403791-92-4P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-(2-hydroxyethoxy)ethyl)sulfamoyl)anilino)pyrimidine 403791-93-5P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(pyridin-2-yl)methyl)sulfamoyl)anilino)pyrimidine 403791-94-6P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(pyridin-3-yl)methyl)sulfamoyl)anilino)pyrimidine 403791-95-7P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-pentylsulfamoyl)anilino)pyrimidine 403791-96-8P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(5-hydroxypentyl)sulfamoyl)anilino)pyrimidine 403791-97-9P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(3-ethoxypropyl)sulfamoyl)anilino)pyrimidine 403791-98-0P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-hydroxypropyl)sulfamoyl)anilino)pyrimidine 403791-99-1P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(3-isopropoxypropyl)sulfamoyl)anilino)pyrimidine 403792-00-7P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-hydroxybutyl)sulfamoyl)anilino)pyrimidine 403792-01-8P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-(pyridin-2-yl)ethyl)sulfamoyl)anilino)pyrimidine 403792-02-9P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2-(pyridin-4-yl)ethyl)sulfamoyl)anilino)pyrimidine 403792-03-0P, 4-(1-Methyl-2-ethylimidazol-5-yl)-2-(4-(N-cyclopropylsulfamoyl)anilino)pyrimidine 403792-04-1P, 4-(1-(2,2,2-Trifluoroethyl)-2-methylimidazol-5-yl)-2-(4-(N-(cyclopropylmethyl)sulfamoyl)anilino)pyrimidine 403792-05-2P, 4-(1-(2,2,2-Trifluoroethyl)-2-methylimidazol-5-yl)-2-(4-(N-(2-

methoxyethyl)sulfamoyl)anilino)pyrimidine 403792-06-3P,
4-(1-(2,2,2-Trifluoroethyl)-2-methylimidazol-5-yl)-2-(4-(N-cyclopropylsulfamoyl)anilino)pyrimidine 403792-07-4P,
4-(1-Isopropyl-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403792-08-5P,
4-(1,2,4-Trimethylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403792-09-6P, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine 403792-10-9P, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-propylsulfamoyl)anilino)pyrimidine 403792-11-0P, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(3-methoxypropyl)sulfamoyl)anilino)pyrimidine 403792-12-1P, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-methylsulfamoyl)anilino)pyrimidine 403792-13-2P, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(cyclopropylmethyl)sulfamoyl)anilino)pyrimidine 403792-14-3P, 4-(1,2,4-Trimethylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine 403792-15-4P, 4-(1,2,4-Trimethylimidazol-5-yl)-2-(4-(N-methylsulfamoyl)anilino)pyrimidine 403792-16-5P, 4-(1,2,4-Trimethylimidazol-5-yl)-2-(4-(N-(3-(N,N-dimethylamino)propyl)sulfamoyl)anilino)pyrimidine 403792-17-6P, 4-(1,2,4-Trimethylimidazol-5-yl)-2-(4-(N-t-butylsulfamoyl)anilino)pyrimidine 403792-18-7P, 4-(1,2,4-Trimethylimidazol-5-yl)-2-(4-(N-(1,1-dimethylpropyl)sulfamoyl)anilino)pyrimidine 403792-19-8P, 4-(1,2,4-Trimethylimidazol-5-yl)-2-(4-(N-cyclopropylsulfamoyl)anilino)pyrimidine 403792-20-1P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-propylsulfamoyl)anilino)pyrimidine 403792-21-2P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-cyclopropylsulfamoyl)anilino)pyrimidine 403792-22-3P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-cyclobutylsulfamoyl)anilino)pyrimidine 403792-23-4P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2,2,2-trifluoroethyl)sulfamoyl)anilino)pyrimidine 403792-24-5P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(3-trifluoromethylphenyl)sulfamoyl)anilino)pyrimidine 403792-25-6P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-methylsulfamoyl)anilino)pyrimidine 403792-26-7P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-(2-(hydroxy)ethoxy)ethyl)sulfamoyl)anilino)pyrimidine 403792-27-8P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(3-isopropoxy-2-hydroxypropyl)sulfamoyl)anilino)pyrimidine 403792-28-9P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-(isoxazol-3-yl)oxy)ethyl)sulfamoyl)anilino)pyrimidine 403792-29-0P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-(isothiazol-3-yl)oxy)ethyl)sulfamoyl)anilino)pyrimidine 403792-30-3P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-(1,2,5-thiadiazol-3-yl)oxy)ethyl)sulfamoyl)anilino)pyrimidine 403792-31-4P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(3-(isoxazol-3-yl)oxy)propyl)sulfamoyl)anilino)pyrimidine 403792-32-5P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(3-(isothiazol-3-yl)oxy)propyl)sulfamoyl)anilino)pyrimidine 403792-33-6P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(3-(1,2,5-thiadiazol-3-yl)oxy)propyl)sulfamoyl)anilino)pyrimidine 403792-34-7P, 4-(1-Methyl-2-ethylimidazol-5-yl)-2-(4-(N-cyclobutylsulfamoyl)anilino)pyrimidine 403792-35-8P, 4-(1-(2,2,2-Trifluoroethyl)-2-methylimidazol-5-yl)-2-(4-(N-cyclobutylsulfamoyl)anilino)pyrimidine 403792-36-9P, 4-(1-Isopropyl-2-methylimidazol-5-yl)-2-(4-(N-cyclobutylsulfamoyl)anilino)pyrimidine 403792-37-0P, 4-(1-Isopropyl-2-methylimidazol-5-yl)-2-(4-(N-cyclopropylsulfamoyl)anilino)pyrimidine 403792-38-1P, 4-(1-Isopropyl-2-methylimidazol-5-yl)-2-(4-(N-(cyclopropylmethyl)sulfamoyl)anilino)pyrimidine 403792-39-2P, 4-(1-Isopropyl-2-methylimidazol-5-yl)-2-(4-(N-(cyanomethyl)sulfamoyl)anilino)pyrimidine 403792-40-5P, 4-(1-Isopropyl-2-methylimidazol-5-yl)-2-(4-(N-((pyridin-2-yl)methyl)sulfamoyl)anilino)pyrimidine 403792-41-6P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(5-methylpyrazin-2-yl)methyl)sulfamoyl)anilino)pyrimidine 403792-42-7P, 4-(1-Methyl-2-methoxymethylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyri

midine 403792-43-8P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(3-((isothiazol-3-yl)oxy)propyl)sulfamoyl)anilino)pyrimidine 403792-44-9P, 4-(1-Ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-propynyl)sulfamoyl)anilino)pyrimidine 403792-45-0P, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403792-46-1P, 5-Bromo-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403792-47-2P, 5-Bromo-4-(1-(2-methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403792-48-3P, 5-Bromo-4-(1-(2-methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(3-methoxypropyl)sulfamoyl)anilino)pyrimidine 403792-49-4P, 5-Chloro-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403792-50-7P, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403792-51-8P, 5-Chloro-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-(tetrahydrofuran-2-ylmethyl)sulfamoyl)anilino)pyrimidine 403792-52-9P, 5-Chloro-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-cyclopropylsulfamoyl)anilino)pyrimidine 403792-53-0P, 5-Chloro-4-(1-(2-methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine 403792-55-2P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(2,3-dihydroxypropyl)sulfamoyl)anilino)pyrimidine 403792-57-4P, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine 403792-59-6P, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-methylsulfamoyl)anilino)pyrimidine 403792-61-0P, 5-Bromo-4-(1-methylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine 403792-62-1P 403792-63-2P, 2-(3-Chloroanilino)-4-(1-(2-((methanesulfonyl)amino)ethyl)imidazol-5-yl)pyrimidine 403792-64-3P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-methylsulfamoyl)anilino)pyrimidine 403792-65-4P, 4-(1,2-Dimethylimidazol-5-yl)-2-(2-methoxy-4-(N-methylsulfamoyl)-5-methylanilino)pyrimidine 403792-66-5P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(4,5-dimethyloxazol-2-yl)sulfamoyl)anilino)pyrimidine 403792-67-6P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-methylcarbamoyl)anilino)pyrimidine 403792-68-7P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(acetylamino)anilino)pyrimidine 403792-69-8P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-aminoanilino)pyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)

IT 146279-89-2, Cyclin E/cdk2 kinase

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)

IT 1003-07-2P, 3-Oxo-2,3-dihydroisothiazole 1709-52-0P, N-Methyl-4-aminobenzenesulfonamide 3466-32-8P, 4-(Methanesulfonyl)bromobenzene 4241-66-1P, 4-Iodobenzenesulfonyl fluoride 5728-07-4P, 3-Oxo-2,3-dihydro-1,2,5-thiadiazole 5777-20-8P, 3-Hydroxyisoxazole 7341-96-0P, 2-Propynamide 20970-50-7P, 5-Acetyl-1-methylimidazole 23428-92-4P, 5-(1-Hydroxyethyl)-1-methylimidazole 31329-64-3P, 3,5-Dimethyl-4-aminoisoxazole 39269-74-4P, 1-Benzyl-5-formyl-2-methylimidazole 62256-50-2P, 4-(1-Hydroxyethyl)-1-triphenylmethylimidazole 74356-30-2P, 3,5-Dimethyl-4-formamidoisoxazole 103747-85-9P, 5-Acetyl-1-benzyl-2-methylimidazole 116795-55-2P, 4-Acetyl-1-triphenylmethylimidazole 121392-35-6P, 1-(1-Butylsulfanyl)-4-bromobenzene 122225-22-3P, 127686-58-2P, 3-Methoxy-1-propanol methanesulfonate 154377-75-0P, N-t-Butoxycarbonyl-4-iodobenzenesulfonamide 326885-27-2P, N-(Tetrahydrofuran-2-ylmethyl)-4-iodobenzenesulfonamide 389605-69-0P, 4-(N-((Tetrahydrofuran-2-yl)methyl)sulfamoyl)aniline 403792-70-1P, 5-(3-Dimethylaminoprop-2-en-1-oyl)-1,2-dimethylimidazole 403792-71-2P, 1-Benzyl-5-(3-dimethylaminoprop-2-en-1-oyl)-2-methylimidazole

403792-72-3P, 2-(3-Chloroanilino)-4-(1-triphenylmethylimidazol-4-yl)pyrimidine 403792-73-4P, 5-(3-Dimethylaminoprop-2-en-1-oyl)-1-methylimidazole 403792-74-5P 403792-75-6P, 5-(3-Dimethylaminoprop-2-en-1-oyl)-1-ethyl-2-methylimidazole 403792-76-7P, 2-Amino-4-(1,2-dimethylimidazol-5-yl)pyrimidine 403792-77-8P, N-(2-Methoxyethyl)-4-iodobenzenesulfonamide 403792-78-9P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(tert-butoxycarbonyl)-N-(2-(2-methoxyethoxy)ethyl)sulfamoyl)anilino)pyrimidine 403792-79-0P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(fluorosulfonyl)anilino)pyrimidine 403792-80-3P, 4-(1-(2-Methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(fluorosulfonyl)anilino)pyrimidine 403792-81-4P, 4-(3-Dimethylaminoprop-2-en-1-oyl)-1-triphenylmethylimidazole 403792-82-5P, 1-Benzyl-5-(1-hydroxyethyl)-2-methylimidazole 403792-83-6P 403792-84-7P, 1-(1-Butene-4-yl)-5-(3-dimethylaminoprop-2-en-1-oyl)-2-methylimidazole 403792-85-8P, 5-(3-Dimethylaminoprop-2-en-1-oyl)-1-(isopropyl)-2-methylimidazole 403792-86-9P, 5-(3-Dimethylaminoprop-2-en-1-oyl)-1-methyl-2-ethylimidazole 403792-87-0P, 5-(3-Dimethylaminoprop-2-en-1-oyl)-1-(2,2,2-trifluoroethyl)-2-methylimidazole 403792-88-1P, 5-(3-Dimethylaminoprop-2-en-1-oyl)-1-methyl-2-isopropylimidazole 403792-89-2P, 5-(3-Dimethylaminoprop-2-en-1-oyl)-1-methyl-2-trifluoromethylimidazole 403792-90-5P, 5-(3-Dimethylaminoprop-2-en-1-oyl)-1,2,4-trimethylimidazole 403792-91-6P, 5-(3-Dimethylaminoprop-2-en-1-oyl)-1-methyl-2-(methoxymethyl)imidazole 403792-92-7P, 2-Amino-4-(1-ethyl-2-methylimidazol-5-yl)pyrimidine 403792-93-8P, 2-Amino-4-(1-(2-methoxyethyl)-2-methylimidazol-5-yl)pyrimidine 403792-94-9P, 2-Amino-4-(1-(1-buten-4-yl)-2-methylimidazol-5-yl)pyrimidine 403792-95-0P, 2-Amino-4-(1-methyl-2-ethylimidazol-5-yl)pyrimidine 403792-96-1P, 2-Amino-4-(1-methyl-2-isopropylimidazol-5-yl)pyrimidine 403792-97-2P, 2-Amino-4-(1-methyl-2-trifluoromethylimidazol-5-yl)pyrimidine 403792-98-3P, 1-(Triphenylmethyl)-2-methyl-4-(2-hydroxyethyl)imidazole 403792-99-4P, 1-(Triphenylmethyl)-2-methyl-4-acetylimidazole 403793-00-0P, 1-Ethyl-2-methyl-5-acetylimidazole 403793-01-1P, 1-(2-Oxyethyl)-2-methyl-5-acetylimidazole 403793-02-2P, 1-(1-Buten-4-yl)-2-methyl-5-acetylimidazole 403793-04-4P, N-(2-(Methoxymethoxy)ethyl)-4-iodobenzenesulfonamide 403793-05-5P, N-(Cyclopropylmethyl)-4-iodobenzenesulfonamide 403793-06-6P 403793-07-7P, N-(2-Benzoyloxyethyl)-4-iodobenzenesulfonamide 403793-08-8P, N-(2,2-Dimethoxyethyl)-4-iodobenzenesulfonamide 403793-09-9P, N-(3-Methoxypropyl)-4-iodobenzenesulfonamide 403793-10-2P, N-(Cyclopropyl)-4-iodobenzenesulfonamide 403793-11-3P, N-(4-Methylthiazol-2-ylmethyl)-4-iodobenzenesulfonamide 403793-12-4P, N-(3-Methylisoxazol-5-ylmethyl)-4-iodobenzenesulfonamide 403793-13-5P, N-(1,4-Dioxan-2-ylmethyl)-4-iodobenzenesulfonamide 403793-14-6P, N-Propyl-4-iodobenzenesulfonamide 403793-15-7P, N-(tert-Butyl)-4-iodobenzenesulfonamide 403793-16-8P, N-Allyl-4-iodobenzenesulfonamide 403793-17-9P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(tert-butoxycarbonyl)-N-(2-(2-(2-methoxyethoxy)ethoxy)ethyl)sulfamoyl)anilino)pyrimidine 403793-18-0P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-(tert-butoxycarbonyl)-N-(2-(2-(2-methoxyethoxy)ethoxy)ethoxy)ethyl)sulfamoyl)anilino)pyrimidine 403793-19-1P, 2-Amino-5-bromo-4-(1,2-dimethylimidazol-5-yl)pyrimidine 403793-20-4P, N-(2-Methoxyethyl)-N-methyl-4-iodobenzenesulfonamide 403793-21-5P, N-(3-Morpholinopropyl)-N-methyl-4-iodobenzenesulfonamide 403793-22-6P, N-(tert-Butyl)-N-methyl-4-iodobenzenesulfonamide 403793-23-7P, N-(3-Morpholinopropyl)-4-iodobenzenesulfonamide 403793-24-8P, 1-(3-(N,N-Dimethylamino)propylthio)-4-bromobenzene 403793-25-9P, 1-(3,3,3-Trifluoropropylthio)-4-bromobenzene 403793-26-0P, 1-(3-(N,N-Dimethylamino)propyl)sulfonyl)-4-bromobenzene 403793-27-1P, 1-(3,3,3-Trifluoropropylsulfonyl)-4-bromobenzene 403793-28-2P 403793-29-3P, 1-(3-Methoxypropylsulfonyl)-4-bromobenzene 403793-30-6P, 3-(2-(tert-Butoxycarbonylamino)ethoxy)isoxazole 403793-31-7P, 3-(2-(tert-Butoxycarbonylamino)ethoxy)isothiazole 403793-32-8P, 3-(2-(tert-Butoxycarbonylamino)ethoxy)-1,2,5-thiadiazole 403793-33-9P, 3-(3-(tert-Butoxycarbonylamino)propoxy)isoxazole 403793-34-0P,

3-(3-(tert-Butoxycarbonylamino)propoxy)isothiazole 403793-35-1P,
3-(3-(tert-Butoxycarbonylamino)propoxy)-1,2,5-thiadiazole 403793-36-2P,
3-(2-Aminoethoxy)isoxazole hydrochloride 403793-37-3P,
3-(2-Aminoethoxy)isothiazole hydrochloride 403793-38-4P,
3-(2-Aminoethoxy)-1,2,5-thiadiazole hydrochloride 403793-39-5P,
3-(3-Aminopropoxy)isoxazole hydrochloride 403793-40-8P,
3-(3-Aminopropoxy)isothiazole hydrochloride 403793-41-9P,
3-(3-Aminopropoxy)-1,2,5-thiadiazole hydrochloride 403793-42-0P,
5-Methyl-4-(N-methyl-N-propionylamino)isoxazole 403793-43-1P,
1-Methyl-2-ethyl-5-acetylimidazole 403793-44-2P, 5-Methyl-4-(N-methyl-N-isobutyrylamino)isoxazole 403793-45-3P, 1-Methyl-2-isopropyl-5-acetylimidazole 403793-46-4P, 4-(Isopropylamino)-5-methylisoxazole 403793-47-5P, 5-Methyl-4-(N-isopropylacetylaminio)isoxazole 403793-48-6P, 5-Acetyl-1-isopropyl-2-methylimidazole 403793-49-7P, 3,5-Dimethyl-4-methylaminoisoxazole 403793-50-0P, 2-Amino-4-(1,2-dimethylimidazol-5-yl)-5-chloropyrimidine 403793-51-1P, 3,5-Dimethyl-4-(N-methylacetylaminio)isoxazole 403793-52-2P, 1,2,4-Trimethyl-5-acetylimidazole 403793-53-3P, N-(2,2,2-Trifluoroethyl)-N-(5-methyl-4-isoxazolyl)acetamide 403793-54-4P, 1-(2,2,2-Trifluoroethyl)-2-methyl-5-acetylimidazole 403793-72-6P, 5-Methyl-4-(2,2,2-Trifluoroethyl)aminoisoxazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)

IT 50-01-1, Guanidine hydrochloride 98-61-3, 4-Iodophenylsulfonyl chloride 98-62-4, 4-Aminobenzenesulfonyl fluoride 100-39-0, Benzyl bromide 100-66-3, Anisole, reactions 104-95-0, 4-Bromothiophenol 106-53-6, 4-Bromothiophenol 107-30-2, Chloromethyl methyl ether 109-85-3, 2-Methoxyethylamine 111-77-3, 2-(2-Methoxyethoxy)ethanol 123-00-2, 4-(3-Aminopropyl)morpholine 460-32-2, 3-Bromo-1,1,1-trifluoropropane 540-61-4, Aminoacetonitrile 623-47-2, Ethyl propiolate 765-30-0, Cyclopropylamine 825-86-5, 4-Iodobenzenesulfonamide 929-06-6, 2-(2-Aminoethoxy)ethanol 1193-88-0, 2-Methyl-4-(2-hydroxyethyl)imidazole 1589-49-7, 3-Methoxy-1-propanol 1668-10-6, Glycinamide hydrochloride 2516-34-9, Cyclobutylamine 2516-47-4, Cyclopropylmethylamine 3731-51-9, 2-Aminomethylpyridine 4795-29-3, Tetrahydrofurfurylamine 5332-73-0, 3-Methoxypropylamine 5407-04-5, 3-(Dimethylamino)propyl chloride hydrochloride 6145-41-1, 3-Chlorophenylguanidine 6685-76-3, Phenylguanidine hydrogen carbonate 7663-77-6 26690-80-2, 2-(tert-Butoxycarbonylamino)ethanol 35034-22-1, 4-Formyl-2-methylimidazole 39021-62-0, 5-Formyl-1-methylimidazole 77987-49-6 78210-66-9, 2-Methyl-4-acetylimidazole 103747-74-6, 2,2,2-Trifluoroacetic acid N-(5-Methyl-4-isoxazolyl)amide 103747-77-9, 5-Acetyl-2-(methoxymethyl)imidazole 103747-79-1, 5-Acetyl-2-(trifluoromethyl)imidazole 103747-80-4, 5-Methyl-4-(methylamino)isoxazole hydrochloride 112981-50-7, 2-Methoxyethyl triflate 127175-39-7, 2-Phthalimidoethyl triflate 251096-49-8, 5-(3-Dimethylaminoprop-2-en-1-oyl)-2-methylimidazole 403793-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE

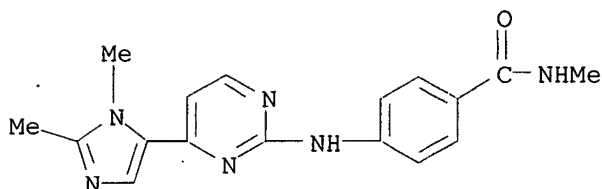
- (1) Adams, J; WO 0137835 A 2001 HCAPLUS
- (2) Bilodeau, M; US 5859041 A 1999 HCAPLUS

IT 403792-67-6P, 4-(1,2-Dimethylimidazol-5-yl)-2-(4-(N-methylcarbamoyl)anilino)pyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)

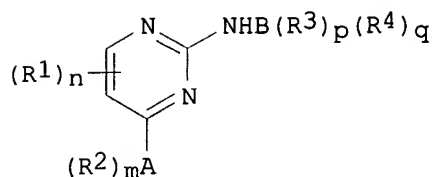
RN 403792-67-6 HCAPLUS
 CN Benzamide, 4-[[4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN
 AN 2001:152681 HCAPLUS
 DN 134:193444
 TI Preparation of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases.
 IN Thomas, Andrew Peter; Breault, Gloria Anne; Beattie, John Franklin; Jewsbury, Phillip John
 PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SO PCT Int. Appl., 81 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D471-04
 ICS A61K031-437; A61P035-00; C07D471-04; C07D235-00; C07D221-00; C07D471-04; C07D231-00; C07D221-00
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001014375	A1	20010301	WO 2000-GB3139	20000815 <--
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	BR 2000013476	A	20020430	BR 2000-13476	20000815 <--
	EP 1214318	A1	20020619	EP 2000-953319	20000815 <--
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
	JP 2003507478	T2	20030225	JP 2001-518706	20000815 <--
	AU 757639	B2	20030227	AU 2000-65833	20000815 <--
	EE 200200080	A	20030616	EE 2002-80	20000815 <--
	BG 106383	A	20020930	BG 2002-106383	20020204 <--
	NO 2002000832	A	20020412	NO 2002-832	20020220 <--
PRAI	GB 1999-19778	A	19990821 <--		
	WO 2000-GB3139	W	20000815 <--		
OS	MARPAT 134:193444				
GI					



- AB Title compds. [I; A = imidazo[1,2-a]pyrid-3-yl, pyrazolo[2,3-a]pyrid-3-yl; R1 = halo, NO₂, cyano, OH, CF₃, OCF₃, amino, CO₂H, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, alkanoyloxy, Ph, heterocyclyl, etc.; R2 = halo, NO₂, cyano, OH, CF₃, OCF₃, amino, CO₂H, SH, carbamoyl, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxy, Ph, heterocyclyl, PhS, etc.; R3 = halo, NO₂, cyano, OH, amino, CO₂H, carbamoyl, SH, sulfamoyl, alkenyl, alkynyl; m = 0-5; n = 0-2; Ring B = Ph or Ph fused to a C5-7 cycloalkyl ring; p = 0-4; R4 = AE; A = (substituted) alkyl, Ph, heterocyclyl, cycloalkyl, phenylalkyl, heterocyclylalkyl, cycloalkylcycloalkyl; E = bond, O, CO, CO₂, NRaCO, NRa, S, SO, SO₂, SO₂NRa; q = 0-2; p+q ≤ 5], were prep'd. Thus, NaH was added to 3-chloroaniline in N-methylpyrrolidone; after 30 min. 4-(2-methylimidazo[1,2-a]pyridin-3-yl)-2-methylthiopyrimidine (prepn. given) in N-methylpyrrolidone was added and the mixt. was heated at 150.degree. for 3 h to give 21% 2-(3-chloroanilino)-4-(2-methylimidazo[1,2-a]pyrid-3-yl)pyrimidine. 2-[4-(2-Diethylaminoethoxy)anilino]-4-(imidazo[1,2-a]pyrid-3-yl)pyrimidine showed CDK2 inhibitory activity with IC₅₀ = 0.17 .mu.M.
- ST imidazopyridinylpyrimidine pyrazolopyridinylpyrimidine prep'n cell cycle kinase inhibitor; pyrimidine imidazopyridinyl prep'n cell cycle kinase inhibitor; cyclin dependent protein kinase inhibitor imidazopyridinylpyrimidine prep'n; anticancer imidazopyridinylpyrimidine pyrazolopyridinylpyrimidine prep'n; fibroproliferative disorder treatment imidazopyridinylpyrimidine pyrazolopyridinylpyrimidine prep'n; differentiative disorder treatment imidazopyridinylpyrimidine pyrazolopyridinylpyrimidine prep'n
- IT Sarcoma
(Kaposi's, treatment; prep'n. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)
- IT Antiarteriosclerotics
(antiatherosclerotics; prep'n. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)
- IT Blood vessel, neoplasm
(hemangioma, treatment; prep'n. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)
- IT Anti-inflammatory agents
Antiarthritics
Antitumor agents
(prep'n. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)
- IT Artery, disease
(restenosis, treatment; prep'n. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)
- IT Eye, disease
(treatment of diseases with retinal vessel proliferation; prep'n. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)
- IT Atherosclerosis
Autoimmune disease

Bone, disease
Kidney, disease
Psoriasis

(treatment; prepn. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)

IT 141349-86-2, Cyclin-dependent protein kinase 2 147014-97-9, Cyclin-dependent protein kinase 4 303014-92-8, Cyclin-dependent protein kinase 6

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(inhibitors; prepn. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)

IT 328061-31-0P 328061-32-1P 328061-33-2P 328061-34-3P 328061-35-4P
328061-36-5P 328061-37-6P 328061-38-7P 328061-39-8P 328061-40-1P
328061-41-2P 328061-42-3P 328061-43-4P 328061-44-5P 328061-45-6P
328061-46-7P 328061-47-8P 328061-48-9P 328061-49-0P 328061-50-3P
328061-51-4P 328061-52-5P 328061-53-6P 328061-54-7P 328061-55-8P
328061-56-9P 328061-57-0P 328061-58-1P 328061-59-2P 328061-60-5P
328061-61-6P 328061-62-7P 328061-63-8P 328061-64-9P 328061-65-0P
328061-66-1P 328061-67-2P 328061-68-3P 328061-69-4P 328061-70-7P
328061-71-8P 328061-72-9P 328061-73-0P 328061-74-1P
328061-75-2P 328061-76-3P 328061-77-4P 328061-78-5P 328061-79-6P
328061-80-9P 328061-81-0P 328061-82-1P 328061-83-2P 328061-84-3P
328061-85-4P 328061-86-5P 328061-87-6P 328061-88-7P 328061-89-8P
328061-90-1P 328061-91-2P 328061-92-3P 328061-93-4P 328061-94-5P
328061-95-6P 328061-96-7P 328061-97-8P 328061-98-9P 328061-99-0P
328062-00-6P 328062-01-7P 328062-02-8P 328062-03-9P
328062-04-0P 328062-05-1P 328062-06-2P 328062-07-3P 328062-08-4P
328062-09-5P 328062-10-8P 328062-11-9P 328062-12-0P 328062-13-1P
328062-14-2P 328062-15-3P 328062-16-4P 328062-17-5P 328062-18-6P
328062-19-7P 328062-20-0P 328062-21-1P 328062-22-2P 328062-23-3P
328062-24-4P 328062-25-5P 328062-26-6P 328062-27-7P 328062-28-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)

IT 50-01-1, Guanidine hydrochloride 60-24-2, 2-Mercaptoethanol 62-56-6, Thiourea, reactions 63-74-1, Sulfanilamide 74-89-5, Methylamine, reactions 75-36-5, Acetyl chloride 98-62-4, Sulfanilyl fluoride 100-02-7, 4-Nitrophenol, reactions 100-58-3, Phenylmagnesium bromide 107-10-8, 1-Propylamine, reactions 108-42-9, 3-Chloroaniline 108-86-1, Bromobenzene, reactions 109-55-7, 3-Dimethylaminopropylamine 109-85-3, 2-Methoxyethylamine 110-88-3, 1,3,5-Trioxane, reactions 123-54-6, 2,4-Pentanedione, reactions 274-76-0, Imidazo[1,2-a]pyridine 504-29-0, 2-Aminopyridine 586-75-4, 4-Bromobenzoyl chloride 695-34-1, 2-Amino-4-methylpyridine 824-78-2, Sodium 4-nitrophenoxide 869-24-9, 2-Diethylaminoethyl chloride hydrochloride 1072-97-5, 5-Bromo-2-aminopyridine 1694-29-7, 3-Chloro-2,4-pentanedione 2032-35-1, Bromoacetaldehyde diethyl acetal 3132-64-7, Epibromohydrin 5036-48-6, 1-(3-Aminopropyl)imidazole 6145-41-1, 3-Chlorophenylguanidine 6295-87-0, 1-Aminopyridinium iodide 6325-93-5, 4-Nitrobenzenesulfonamide 7663-77-6, 1-(3-Aminopropyl)-2-oxopyrrolidine 10201-73-7, 2-Amino-4-methoxypyridine 15150-25-1, Benzoyl cyanamide 16271-33-3, 2,4-Dichlorobenzenesulfonyl chloride 18471-73-3, 2-Amino-4-phenylpyridine 46460-73-5, 3-(Benzyloxycarbonylamino)propylamine 75178-96-0, 3-(tert-Butoxycarbonylamino)propylamine

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle

kinases)
 IT 1709-52-0P 5255-75-4P 5626-85-7P 7750-77-8P 17408-29-6P
 19881-36-8P 29096-60-4P 29096-64-8P 38519-63-0P 58687-83-5P
 69214-09-1P 93669-30-8P 177785-41-0P 260045-39-4P 264127-50-6P
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 328062-31-3P 328062-32-4P 328062-33-5P 328062-34-6P 328062-35-7P
 328062-36-8P 328062-37-9P 328062-38-0P 328062-39-1P 328062-40-4P
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 328062-46-0P 328062-47-1P 328062-48-2P 328062-49-3P 328062-50-6P
 328064-17-1P 328064-22-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-
 a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle
 kinases)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Smithkline Beecham Corp; WO 9640143 A 1996 HCAPLUS

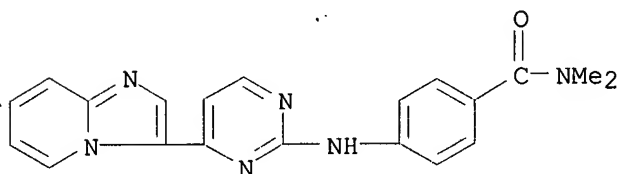
(2) Zimmermann, J; US 5521184 A 1996 HCAPLUS

IT 328061-72-9P 328061-73-0P 328062-00-6P
 328062-01-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-
 a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle
 kinases)

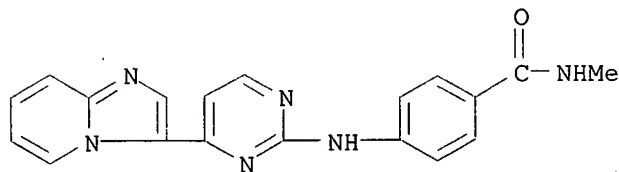
RN 328061-72-9 HCAPLUS

CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N,N-
 dimethyl- (9CI) (CA INDEX NAME)



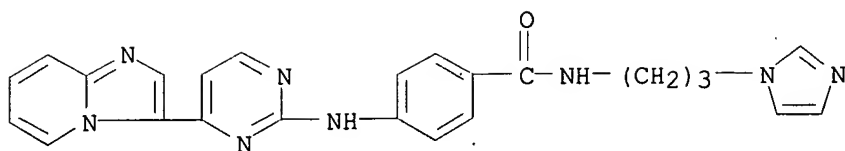
RN 328061-73-0 HCAPLUS

CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-methyl-
 (9CI) (CA INDEX NAME)



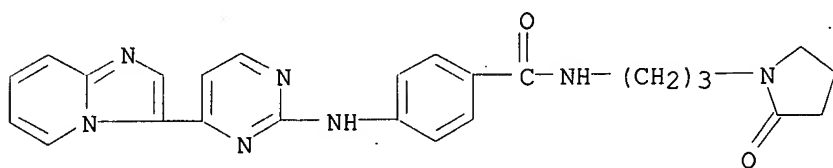
RN 328062-00-6 HCAPLUS

CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[3-(1H-
 imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)



RN 328062-01-7 HCAPLUS

CN Benzamide, 4-[(4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[3-(2-oxo-1-pyrrolidiny)propyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:137207 HCAPLUS

DN 134:178569

TI Preparation of as isoxazolyipyrimidines and related compounds as inhibitors of c-JUN N-terminal kinases and other protein kinases.

IN Green, Jeremy; Bemis, Guy; Grillot, Anne-Laure; Ledebuer, Mark; Salituro, Francis; Harrington, Edmund; Gao, Huai; Baker, Christopher; Cao, Jingrong; Hale, Michael

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D401-04

ICS C07D405-04; C07D403-04; C07D413-04; A61K031-341; A61K031-4155; A61K031-4192; A61K031-42

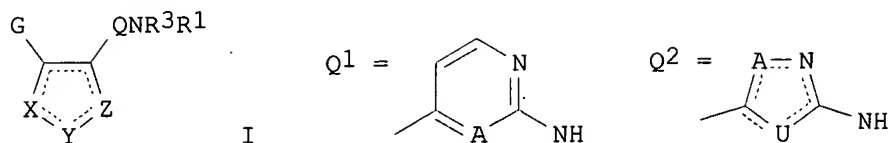
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2001012621	A1	20010222	WO 2000-US22445	20000811	<--
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	BR 2000013551	A	20030617	BR 2000-13551	20000811	<--
	NO 2002000713	A	20020412	NO 2002-713	20020212	<--
	US 2003149051	A1	20030807	US 2002-74177	20020212	<--
PRAI	US 1999-148795P	P	19990812			<--
	US 1999-166922P	P	19991122			<--
	US 2000-211517P	P	20000614			<--
	WO 2000-US22445	W	20000811			<--

OS MARPAT 134:178569
GI



AB Title compds. [I; XYZ = NOCR2, ON:CR2, N:NNR3, OC(R2):CR2, NN(R3)CR2; R1 = H, CONH2, TnR, TnAr2; R = (substituted) alipharyl; n = 0, 1; T = CO, CO2, CONH, SO2, SO2NH, COCH2, CH2; R2 = H, R, CH2OR, CH2OH, CHO, CH2SR, CH2SO2R, CH2NH2, CH2CN, (substituted) aryl, arylmethyl, heterocyclyl, heterocyclylmethyl, etc.; R3 = H, R, COR, CO2R, SO2R; G = R, Ar1; Ar1 = (substituted) (fused) aryl, aralkyl, heterocyclyl; Q = Q1, Q2; A = N, CR3; U = CR3, O, S, NR3; Ar2 = (substituted) (fused) aryl, heterocyclyl], were prepd. Thus, 4-(5-methyl-3-phenylisoxazole-4-yl)pyrimidin-2-ylamine (prepn. given) was refluxed with PhBr, tris(dibenzylideneacetone)dipalladium, BINAP, and NaOCMe3 were refluxed together for 16 h to give 36% 4-(5-methyl-3-phenylisoxazole-4-yl)pyrimidin-2-ylphenylamine. Several I inhibited KNK3 at <0.1 .mu.M.

ST isoxazolylypyrimidine prepn protein kinase inhibitor; JNK inhibitor isoxazolylypyrimidine prepn; pyrimidine isoxazolyly prepn protein kinase inhibitor; antiinflammatory isoxazolylypyrimidine prepn; autoimmune disease treatment isoxazolylypyrimidine; bone disorder treatment isoxazolylypyrimidine; antiinfective isoxazolylypyrimidine prepn; neurodegenerative disease isoxazolylypyrimidine prepn; antiallergy isoxazolylypyrimidine prepn; hypoxia treatment isoxazolylypyrimidine treatment

IT Nervous system
(degeneration, treatment; prepn. of as isoxazolylypyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

IT Heart, disease
(hypertrophy, treatment of organ hypoxia; prepn. of as isoxazolylypyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

IT Cytokines
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(inflammatory, treatment of conditions assocd. with proinflammatory cytokines; prepn. of as isoxazolylypyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

IT Allergy inhibitors
Anti-infective agents
Anti-inflammatory agents
Platelet aggregation inhibitors
(prepn. of as isoxazolylypyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

IT Bone, disease
(treatment of destructive bone disorders; prepn. of as isoxazolylypyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

IT Hypoxia, animal
(treatment of organ hypoxia; prepn. of as isoxazolylypyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

IT Hyperplasia
(treatment of vascular hyperplasia; prepn. of as isoxazolylypyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other

protein kinases)

IT Autoimmune disease
(treatment; prepn. of as isoxazolylypyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

IT 289898-51-7, c-JUN N-terminal kinase
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(inhibitors; prepn. of as isoxazolylypyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

IT 326817-95-2P 326817-96-3P 326817-97-4P 326817-98-5P 326817-99-6P
326818-00-2P 326818-01-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of as isoxazolylypyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

IT 264616-56-0 326818-22-8 **326818-24-0** 326818-26-2
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326818-42-2 326818-43-3 326818-44-4 326818-45-5 326818-46-6
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of as isoxazolympyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

IT 50-01-1, Guanidine hydrochloride 62-53-3, Aniline, reactions 75-16-1, Methylmagnesium bromide 100-52-7, Benzaldehyde, reactions 105-45-3, Methyl acetoacetate 108-86-1, Bromobenzene, reactions 108-91-8, Cyclohexylamine, reactions 110-91-8, Morpholine, reactions 123-54-6, Pentane-2,4-dione, reactions 372-09-8, Cyanoacetic acid 403-43-0, 4-Fluorobenzoyl chloride 614-16-4, Benzoylacetonitrile 683-58-9, Acetyl chloride oxime 4637-24-5, Dmf dimethyl acetal 4926-28-7, 2-Bromo-4-methylpyridine 14001-63-9, 4-Methyl-2-methylsulfanylpurymidine 27489-62-9, trans-4-Aminocyclohexanol 78191-00-1, N-Methoxy-N-methylacetamide 104863-68-5 265125-00-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of as isoxazolympyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

IT 698-16-8P, Benzoyl chloride oxime 932-90-1P, Benzaldehyde oxime 1136-45-4P 2065-28-3P 19212-42-1P 89479-66-3P 127916-08-9P 264256-23-7P 326818-02-4P 326818-03-5P 326818-04-6P 326818-05-7P 326818-06-8P 326818-07-9P 326818-08-0P 326818-09-1P 326818-10-4P 326818-11-5P 326818-12-6P 326818-13-7P 326818-14-8P 326818-15-9P 326818-16-0P 326818-17-1P 326818-19-3P 326818-21-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of as isoxazolympyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Hassan, S; 1997, 19, P581 HCAPLUS
- (2) Ihle; J Org Chem 1996, V61(14), P4810 HCAPLUS
- (3) Ikeda, M; Degradation of a fungicide, mepanipyrim, in soils 1998, V23(1), P1 HCAPLUS
- (4) Khisamutdinov, G; Khim -Farm Zh 1968, V2(8), P35 HCAPLUS
- (5) Nishiwaki, N; Heterocycles 1996, V43(6), P1179 HCAPLUS
- (6) Oku; US 5356897 A 1994 HCAPLUS
- (7) Paul, R; J Med Chem 1993, V36(19), P2716 HCAPLUS
- (8) Payne; US 5668148 A 1997 HCAPLUS
- (9) Schwab; US 5814627 A 1998 HCAPLUS
- (10) Sokolov, S; Zhor Obshchei Khim 1960, V30, P1781 HCAPLUS
- (11) Torley; US 4876252 A 1989 HCAPLUS

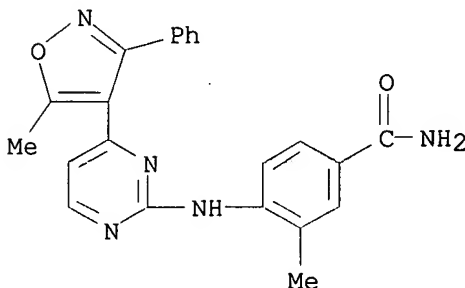
IT 326818-24-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of as isoxazolympyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

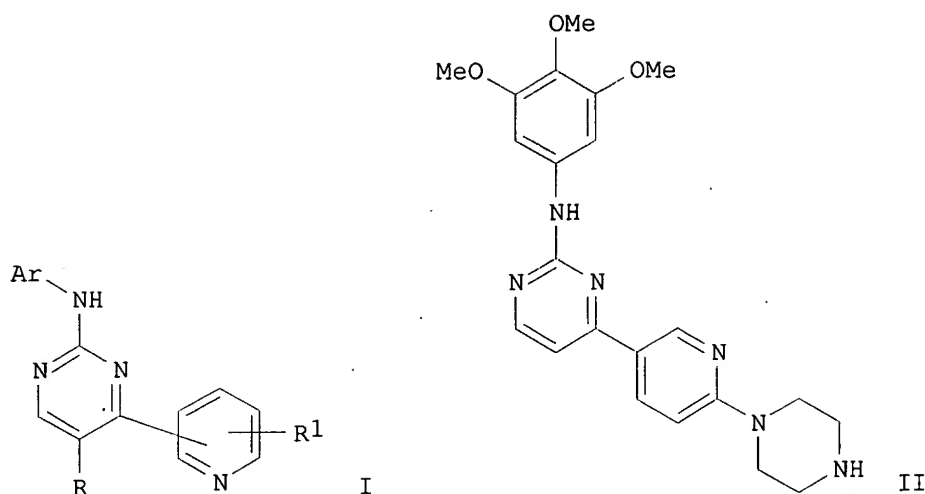
RN 326818-24-0 HCAPLUS

CN Benzamide, 3-methyl-4-[[4-(5-methyl-3-phenyl-4-isoxazoly)]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L10 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN
 AN 1998:293493 HCAPLUS
 DN 129:4655
 TI 2-Pyrimidineamines and their preparation
 IN Davis, Peter David; Moffat, David Festus Charles; Batchelor, Mark James;
 Hutchings, Martin Clive; Parry, David Mark
 PA Celltech Therapeutics Ltd., UK; Davis, Peter David; Moffat, David Festus
 Charles; Batchelor, Mark James; Hutchings, Martin Clive; Parry, David Mark
 SO PCT Int. Appl., 58 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D401-04
 ICS C07D401-14; A61K031-505
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9818782	A1	19980507	WO 1997-GB2949	19971027 <--
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
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	AU 732155	B2	20010412		
	EP 934304	A1	19990811	EP 1997-912296	19971027 <--
	EP 934304	B1	20030226		
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	JP 2001503047	T2	20010306	JP 1998-520184	19971027 <--
	AT 233256	E	20030315	AT 1997-912296	19971027 <--
	US 6552029	B1	20030422	US 1999-420755	19991020 <--
PRAI	GB 1996-22363	A	19961028	<--	
	US 1997-958419	A1	19971027	<--	
	WO 1997-GB2949	W	19971027	<--	
OS	MARPAT 129:4655				
GI					



AB The title compds. [I; Ar = (un)substituted arom. group; R = H, halo, ZR₂; R₁ = (un)substituted heterocyclyl; R₂ = (un)substituted alk(en)yl or alkynyl; Z = bond, linker atom or group] and their salts, solvates, hydrates and N-oxides, selective inhibitors of tyrosine kinases ZAP-70 and Syk (no data), useful in the prophylaxis and treatment of immune or allergic diseases and diseases involving inappropriate platelet activation, were prepd. Pharmaceutical compns. contg. I are also claimed. For example, refluxing a soln. of 3,4,5-trimethoxyphenylguanidine, 1-(2-chloropyridin-5-yl)-3-dimethylamino-2-propen-1-one [prepn. from 5-acetyl-2-chloropyridine and Me₂NCH(OEt)₂ given] and NaOH in Me₂CHOH gave 4-(2-chloropyridin-5-yl)-N-(3,4,5-trimethoxyphenyl)-2-pyridineamine which was heated with piperazine at 140.degree. to give a title compd. II (m. 134-135.degree.).

ST pyrimidineamine deriv prepn protein kinase inhibitor; acetylchloropyridine condensation DMF diethyl acetal; chloropyridinyldimethylaminopropenone prepn cyclocondensation trimethoxyphenylguanidine; methoxyphenylguanidine cyclocondensation chloropyridinyldimethylaminopropenone; chloropyridinyltrimethoxyphenylpyridineamine prepn amination piperazine; piperazinylpyridinyltrimethoxyphenylpyridineamine prepn protein kinase inhibitor

IT	207282-03-9P	207282-05-1P	207282-07-3P	207282-09-5P	207282-11-9P
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	207282-43-7P	207282-45-9P	207282-48-2P	207282-51-7P	207282-54-0P
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	207283-69-0P	207283-73-6P	207283-77-0P	207283-81-6P	207283-84-9P
	207283-88-3P	207283-91-8P	207283-95-2P	207283-98-5P	207284-00-2P
	207284-01-3P	207284-03-5P	207284-05-7P	207284-84-2P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2-pyrimidineamines and their prepn.)

IT 5460-29-7, 3-Bromopropylphthalimide
RL: RCT (Reactant); RACT (Reactant or reagent)
(2-pyrimidineamines and their prepn.)

IT 13360-57-1, Dimethylsulfamoyl chloride

- RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of pyridinylpyrimidineamine deriv.; 2-pyrimidineamines and their prepn.)
- IT 103-76-4, 1-(2-Hydroxyethyl)piperazine 108-49-6, 2,6-Dimethylpiperazine 109-01-3, 1-Methylpiperazine 109-07-9, 2-Methylpiperazine 110-85-0, Piperazine, reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 123-90-0, Thiomorpholine 140-31-8, 1-(2-Aminoethyl)piperazine 505-66-8, Homopiperazine 3388-79-2, 2-(2-Hydroxyethyl)piperazine 3433-37-2, 2-(Hydroxymethyl)piperidine 4318-37-0, 1-Methylhomopiperazine 4606-65-9, 3-(Hydroxymethyl)piperidine 5317-32-8, 1-(3-Hydroxypropyl)piperazine 5382-16-1, 4-Hydroxypiperidine 6269-89-2, 1-(4-Nitrophenyl)piperazine 13961-37-0, 2-Ethylpiperazine 28795-50-8, 2-Piperazinemethanol 45347-82-8, 3-Hydroxyazetidine 74879-18-8, 2(S)-Methylpiperazine 75336-86-6 111781-39-6 132883-44-4 132958-72-6 207284-25-1
- RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of (chloropyridinyl)pyrimidineamine deriv.; 2-pyrimidineamines and their prepn.)
- IT 108-69-0, 3,5-Dimethylaniline
- RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of chloropyrimidine deriv.; 2-pyrimidineamines and their prepn.)
- IT 32779-37-6, 2,5-Dibromopyrimidine
- RL: RCT (Reactant); RACT (Reactant or reagent)
(amination with piperazine; 2-pyrimidineamines and their prepn.)
- IT 207284-27-3
- RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation; 2-pyrimidineamines and their prepn.)
- IT 191727-50-1P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amination with cyclic amines; 2-pyrimidineamines and their prepn.)
- IT 207284-14-8P 207284-17-1P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection; 2-pyrimidineamines and their prepn.)
- IT 57004-62-3P 207284-10-4P 207284-12-6P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with (chloropyridinyl)dimethylaminopropenone; 2-pyrimidineamines and their prepn.)
- IT 22777-05-5P 207284-20-6P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with (chloropyridinyl)pyrimidineamine deriv.; 2-pyrimidineamines and their prepn.)
- IT 55676-22-7P, 5-Acetyl-2-chloropyridine
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with DMF di-Et acetal; 2-pyrimidineamines and their prepn.)
- IT 207284-18-2P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with TentaGel S-PHB resin; 2-pyrimidineamines and their prepn.)
- IT 204771-71-1P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with aniline deriv.; 2-pyrimidineamines and their prepn.)
- IT 207284-16-ODP, resin-bound

- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with anilines; 2-pyrimidineamines and their prepn.)
- IT 73406-97-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with di-tert-Bu dicarbonate; 2-pyrimidineamines and their prepn.)
- IT 153747-97-8P 207284-19-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with dichloropyrimidine; 2-pyrimidineamines and their prepn.)
- IT 170140-83-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with phenylguanidine derivs.; 2-pyrimidineamines and their prepn.)
- IT 207284-08-0P 207284-11-5P 207284-13-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with piperazine; 2-pyrimidineamines and their prepn.)
- IT 207284-22-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with trichloroaniline; 2-pyrimidineamines and their prepn.)
- IT 63808-67-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn.; 2-pyrimidineamines and their prepn.)
- IT 138674-26-7, Protein tyrosine kinase Syk 148047-34-1, Protein tyrosine kinase ZAP-70
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of 2-pyrimidineamines as protein kinase inhibitors)
- IT 5680-79-5, Methyl glycinate hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with (S)-4-ethyloxazolidine-2,5-dione; 2-pyrimidineamines and their prepn.)
- IT 57004-63-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with (dimethylamino)propenone deriv.; 2-pyrimidineamines and their prepn.)
- IT 420-04-2, Cyanamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with (dimethylaminoethoxy)aniline; 2-pyrimidineamines and their prepn.)
- IT 29774-83-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with Me glycinate; 2-pyrimidineamines and their prepn.)
- IT 2937-50-0, Allyl chloroformate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with [(piperazinyl)pyridinyl]pyrimidine deriv.; 2-pyrimidineamines and their prepn.)
- IT 1188-33-6, Dimethylformamide diethyl acetal
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with acetylchloropyridine; 2-pyrimidineamines and their prepn.)
- IT 207410-25-1DP, TentaGel S-PHB, reaction products with
(nitrophenyl)chloroformate, condensates with [(piperazinyl)pyridinyl]pyrimidine deriv.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reaction with anilines; 2-pyrimidineamines and their prepn.)

IT 24424-99-5, Di-tert-Butyl dicarbonate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with bromo(piperazinyl)pyridine; 2-pyrimidineamines and their prepn.)

IT 108-59-8, Dimethyl malonate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with chloronicotinyl chloride; 2-pyrimidineamines and their prepn.)

IT 6315-89-5, 4-Aminoveratrole 10272-07-8, 3,5-Dimethoxyaniline
62345-76-0, 4-(2-Dimethylaminoethoxy)aniline
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with cyanamide; 2-pyrimidineamines and their prepn.)

IT 58757-38-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with di-Me malonate; 2-pyrimidineamines and their prepn.)

IT 3934-20-1, 2,4-Dichloropyrimidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with lithiated bromopyridine deriv.; 2-pyrimidineamines and their prepn.)

IT 634-91-3, 3,4,5-Trichloroaniline
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with pyridinylpyrimidine deriv.; 2-pyrimidineamines and their prepn.)

IT 62-53-3, Benzenamine, reactions 93-05-0, 4-N,N-Diethylaminoaniline
95-64-7, 3,4-Dimethylaniline 99-05-8, 3-Aminobenzoic acid 99-09-2,
3-Nitroaniline 99-98-9 104-94-9, 4-Methoxyaniline 106-47-8,
4-Chloroaniline, reactions 108-42-9, 3-Chloroaniline 108-44-1,
3-Methylaniline, reactions 123-30-8 134-32-7, 1-Naphthylamine
139-59-3, 4-Phenoxyaniline 367-21-5, 3-Chloro-4-fluoroaniline
371-40-4, 4-Fluoroaniline 372-19-0, 3-Fluoroaniline 461-82-5,
4-Trifluoromethoxyaniline 536-90-3, 3-Methoxyaniline 589-16-2,
4-Ethylaniline 591-19-5, 3-Bromoaniline 831-75-4, 3-(1,1,2,2-Tetrafluoroethoxy)aniline 1535-73-5, 3-Trifluoromethoxyaniline
1877-77-6, 3-Hydroxymethylaniline 2735-04-8, 2,4-Dimethoxyaniline
2835-68-9, 4-Aminobenzamide 4344-55-2, 4-Butoxyaniline 6299-67-8,
2,3-Dimethoxyaniline 14268-66-7, 1,3-Benzodioxol-5-amine 24425-40-9
163733-96-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with resin-bound [(chloropyrimidinyl)pyridinyl]piperazine carbonate; 2-pyrimidineamines and their prepn.)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

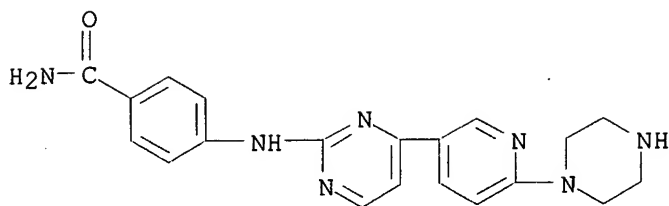
RE

(1) Celltech; WO 9719065 A 1997 HCAPLUS
(2) Ciba-Geigy; WO 9509847 A 1995 HCAPLUS
(3) Ciba-Geigy; WO 9509853 A 1995 HCAPLUS

IT 207283-10-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2-pyrimidineamines and their prepn.)

RN 207283-10-1 HCAPLUS

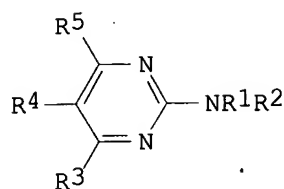
CN Benzamide, 4-[[4-[6-(1-piperazinyl)-3-pyridinyl]-2-pyrimidinyl]amino]-(9CI) (CA INDEX NAME)



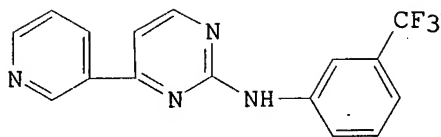
L10 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN
 AN 1988:112478 HCAPLUS
 DN 108:112478
 TI Preparation of 4,5,6-substituted 2-pyrimidinamines as allergy inhibitors, antiasthmatics, and hypoglycemics
 IN Torley, Lawrence Wayne; Johnson, Bernard B.; Dusza, John Paul
 PA American Cyanamid Co., USA
 SO Eur. Pat. Appl., 94 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 IC ICM C07D401-04
 ICS C07D403-04; C07D405-04; C07D409-04; C07D417-04; A61K031-505
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 233461	A2	19870826	EP 1987-100277	19870112 <--
	EP 233461	A3	19880525		
	EP 233461	B1	19960320		
	EP 233461	B2	20020529		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	US 4788195	A	19881129	US 1986-927572	19861106 <--
	AT 135699	E	19960415	AT 1987-100277	19870112 <--
	ES 2087056	T3	19960716	ES 1987-100277	19870112 <--
	DK 8700151	A	19870714	DK 1987-151	19870113 <--
	DK 171251	B1	19960812		
	FI 8700113	A	19870714	FI 1987-113	19870113 <--
	FI 91150	B	19940215		
	FI 91150	C	19940525		
	AU 8767518	A1	19870716	AU 1987-67518	19870113 <--
	AU 591223	B2	19891130		
	ZA 8700219	A	19870826	ZA 1987-219	19870113 <--
	JP 62223177	A2	19871001	JP 1987-5867	19870113 <--
	JP 07080857	B4	19950830		
	HU 43582	A2	19871130	HU 1987-100	19870113 <--
	HU 198708	B	19891128		
	CA 1320201	A1	19930713	CA 1987-527173	19870113 <--
	US 4876252	A	19891024	US 1988-194751	19880517 <--
	AU 9050578	A1	19900726	AU 1990-50578	19900228 <--
	AU 621461	B2	19920312		
PRAI	US 1986-817951	A	19860113		<--
	US 1986-927572	A3	19861106		<--
OS	CASREACT 108:112478				
GI					



I



II

AB The title compds. [I; R1 = H, C1-3 alkyl, EtO2CCO, Et2NCH2CH2; R2 = substituted Ph; R3 = Me2NC6H4, AcNMeC6H4, (un)substituted furanyl, thienyl, N-contg. heteroaryl; R4, R5 = H, C1-3 alkyl] and their pharmacol. acceptable salts were prepd. for treating asthma and allergic diseases, inflammation, and diabetes mellitus. A mixt. of 7.04 g 3-(dimethylamino)-1-(3-pyridinyl)-2-propen-1-one and 18.72 g 3-F3CC6H4NHC(:NH)NH2.H2CO3 was refluxed 16 h in PrOH to give 5.55 g pyridinylpyrimidinamine II. II inhibited histamine release from immunol. stimulated human basophils with an IC50 of 0.7 .mu.M. II also gave 58.1% inhibition of lipoxigenase activity in guinea pig neutrophils at 10 .mu.g/mL.

ST heteroarylpyrimidinamine prepn drug; pyrimidinamine heteroaryl prepn drug; allergy inhibitor heteroarylpyrimidinamine prepn; antiasthmatic heteroarylpyrimidinamine prepn; antiinflammatory heteroarylpyrimidinamine prepn; hypoglycemic heteroarylpyrimidinamine prepn

IT Allergy inhibitors
Antidiabetics and Hypoglycemics
Inflammation inhibitors
(heteroarylpyrimidinamines)

IT Diabetes mellitus
(treatment of, heteroarylpyrimidinamines for)

IT Bronchodilators
(antiasthmatics, heteroarylpyrimidinamines)

IT	3240-94-6P,	4-(2-Chloroethyl)morpholine	17168-45-5P	23309-09-3P
	55314-16-4P	60131-35-3P	63285-46-1P	66521-54-8P
	79571-33-8P	79571-34-9P	87291-34-7P	90815-53-5P
	96604-89-6P	110506-42-8P	111781-53-4P	112677-03-9P
	112677-05-1P	112677-06-2P	112677-07-3P	112677-08-4P
	112677-10-8P	112677-11-9P	112677-12-0P	112677-13-1P
	112677-15-3P	112677-16-4P	112677-17-5P	112677-18-6P
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	112677-71-1P	112677-72-2P	112677-73-3P	112677-74-4P
	112677-76-6P			112677-75-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of pyrimidinamine pharmaceuticals)

IT	101987-45-5P	102305-10-2P	112675-51-1P	112675-52-2P	112675-53-3P
	112675-54-4P	112675-55-5P	112675-56-6P	112675-57-7P	112675-58-8P
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112696-78-3P	112696-79-4P	112696-80-7P	112696-81-8P	112696-82-9P
112696-83-0P	112696-84-1P	112696-85-2P	112696-86-3P	112696-87-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

IT	112696-88-5P	112696-89-6P	112696-90-9P	112696-91-0P	112696-92-1P
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	113094-81-8P	113102-65-1P			

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

IT	74-89-5, reactions	75-12-7, reactions	80-41-1, 2-Chloroethyl tosylate
	96-79-7, 2-(Diisopropylamino)ethyl chloride	100-35-6,	
	2-Chlorotriethylamine	100-36-7, N,N-Diethylethylenediamine	105-36-2,
	Ethyl bromoacetate	107-99-3, 2-Chloro-N,N-dimethylethylamine	109-01-3,
	1-Methylpiperazine	109-54-6, 3-Chloro-N,N-dimethylpropylamine	
	288-32-4, Imidazole, reactions	321-73-3	402-67-5, 1-Fluoro-3-
	nitrobenzene	420-04-2, Cyanamide	554-84-7, m-Nitrophenol
	563-41-7,		
	Semicarbazide hydrochloride	591-27-5, m-Aminophenol	703-80-0,
	3-Acetylindole	1072-83-9, 2-Acetylpyrrole	1122-62-9, 2-Acetylpyridine
	3647-69-6, 4-(2-Chloroethyl)morpholine hydrochloride	4637-24-5, DMF	

dimethyl acetal 4755-77-5, Ethyl oxalyl chloride 5418-95-1 5815-08-7
 6291-89-0 13679-74-8, 2-Acetyl-5-methylthiophene 16060-65-4,
 p-Guanidinobenzoic acid 22118-09-8, Bromoacetyl chloride 24503-25-1
 34772-98-0 38647-86-8 42823-46-1, p-Guanidinobenzoic acid
 hydrochloride 55314-16-4 56923-83-2 61705-88-2 61705-91-7
 66521-53-7 112676-89-8 112676-90-1 112677-01-7 112677-02-8
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 112677-63-1 112677-64-2 112720-40-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in prepn. of pyridinamine pharmaceuticals)

IT 1193-79-9

RL: RCT (Reactant); RACT (Reactant or reagent)

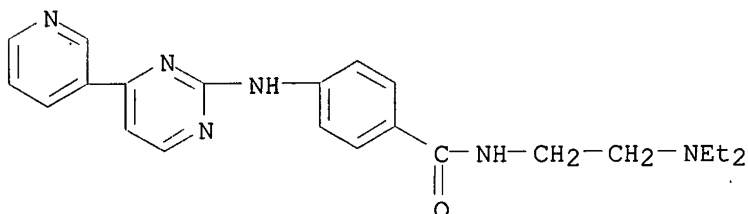
(reaction of, in prepn. of pyrimidinamine pharmaceuticals)

IT **112676-85-4P 112676-86-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as drug)

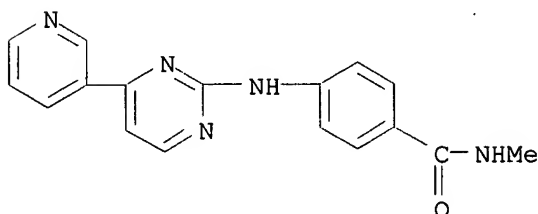
RN 112676-85-4 HCAPLUS

CN Benzamide, N-[2-(diethylamino)ethyl]-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 112676-86-5 HCAPLUS

CN Benzamide, N-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



=> s 19 not 110

L12 2 L9 NOT L10

=> d all fhitstr tot

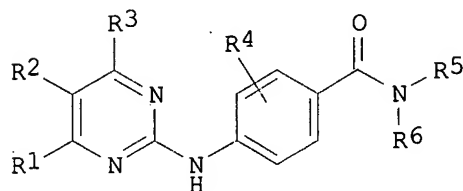
L12 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:449662 HCAPLUS
 DN 137:33310
 TI Preparation of anilinopyrimidines as IKK inhibitors
 IN Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.; Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
 PA Signal Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 194 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D239-42
 ICS C07D401-12; C07D405-12; C07D413-12; C07D403-12; A61K031-505; A61P029-00
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 10

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002046171	A2	20020613	WO 2001-US46403	20011205 <--
	WO 2002046171	A3	20030123		
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	AU 2002020195	A5	20020618	AU 2002-20195	20011205 <--
PRAI	US 2000-251816P	P	20001206 <--		
	WO 2001-US46403	W	20011205		
OS	MARPAT 137:33310				
GI					

DIALOG
 A THOMSON COMPANY



I

These two refs are applicants / patent arising - Too many hits to display - only 1 per reference

AB The title compds. [I; R1 = (un)substituted alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 etc.; or NR5R6 = (un)substituted heterocyc etc.; a = 0-4] having activity as inhibitors were prepd. E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2=R6 = H] having an IC50 of 1.0 to 1.0 μM in the IKK-2 enzyme assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to IKK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. contg. one or more compds. of the above compds.

ST anilinopyrimidine prepn IKK2 kinase inhibitor; IkappaB protein kinase inhibitor anilino pyrimidine prepn

IT Intestine, disease
 (Crohn's; prepn. of anilinopyrimidines as IKK inhibitors)

IT Respiratory distress syndrome
 (acute, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Nose, disease

(allergic rhinitis, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Nervous system, disease
(amyotrophic lateral sclerosis, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Antiarteriosclerotics
(antiatherosclerotics; prepn. of anilinopyrimidines as IKK inhibitors)

IT Tumor necrosis factors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticancer agent; prepn. of anilinopyrimidines as IKK inhibitors)

IT Bronchi, disease
(bronchitis, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Uterus
(cervix, inhibitors; prepn. of anilinopyrimidines as IKK inhibitors)

IT Lung, disease
(chronic obstructive, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Intestine, disease
(colitis, treatment of mucous colitis; prepn. of anilinopyrimidines as IKK inhibitors)

IT Intestine, neoplasm
(colon, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Intestine, neoplasm
(colorectal, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Eye, disease
(conjunctivitis, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Artery, disease
(coronary, restenosis, treatment of restenosis following angioplasty; prepn. of anilinopyrimidines as IKK inhibitors)

IT Metabolism, animal
(disorder, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Esophagus, disease
(esophagitis, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Stomach, disease
(gastritis; prepn. of anilinopyrimidines as IKK inhibitors)

IT Transplant and Transplantation
(graft-vs.-host reaction, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Sexual behavior
(impotence, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Heart, disease
(infarction, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Intestine, disease
(inflammatory, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Bladder, neoplasm
Esophagus, neoplasm
Kidney, neoplasm
Larynx, neoplasm
Mouth, neoplasm
Ovary, neoplasm
Pharynx, neoplasm
Testis, neoplasm
Uterus, neoplasm
(inhibitors; prepn. of anilinopyrimidines as IKK inhibitors)

IT Intestine, disease

(irritable bowel syndrome, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Brain, disease
(ischemia; prepn. of anilinopyrimidines as IKK inhibitors)

IT Heart, disease
(left ventricle, hypertrophy, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Neck, anatomical
(neoplasm, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Kidney, disease
(nephritis, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Diabetes mellitus
(non-insulin-dependent, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Pancreas, disease
(pancreatitis, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Nose, neoplasm
(polyp, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Allergy inhibitors
Anti-inflammatory agents
Anti-ischemic agents
Antiasthmatics
Anticonvulsants
Antidiabetic agents
Antirheumatic agents
Antitumor agents
Antiviral agents
Cardiovascular agents
Epilepsy
Human
Immunosuppressants
Multiple organ failure
Transplant rejection
(prepn. of anilinopyrimidines as IKK inhibitors)

IT Shock (circulatory collapse)
(septic, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Spinal column, disease
(spondylitis, treatment of rheumatoid spondylitis; prepn. of anilinopyrimidines as IKK inhibitors)

IT Brain, disease
(stroke; prepn. of anilinopyrimidines as IKK inhibitors)

IT Ischemia
(treatment of ischemic diseases of kidney and liver; prepn. of anilinopyrimidines as IKK inhibitors)

IT AIDS (disease)
Alzheimer's disease
Asthma
Autoimmune disease
Brain, neoplasm
Bronchi, neoplasm
Cachexia
Cystic fibrosis
Dermatitis
Eczema
Gout
Head, neoplasm
Heart, disease
Hepatitis
Hepatitis B virus
Hepatitis C virus

Human T-lymphotropic virus
 Human herpesvirus 4
 Inflammation
 Liver, neoplasm
 Lung, neoplasm
 Lupus erythematosus
 Multiple sclerosis
 Osteoarthritis
 Osteoporosis
 Pancreas, neoplasm
 Parkinson's disease
 Prostate gland, neoplasm
 Psoriasis
 Purpura (disease)
 Rheumatoid arthritis
 Sepsis
 Skin, neoplasm
 Stomach, neoplasm

(treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Intestine, disease
 (ulcerative colitis, treatment of; prepn. of anilinopyrimidines as IKK inhibitors)

IT Interferons
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (.alpha., anticancer agent; prepn. of anilinopyrimidines as IKK inhibitors)

IT Interferons
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (.gamma., anticancer agent; prepn. of anilinopyrimidines as IKK inhibitors)

IT 50-07-7 50-18-0, Cyclophosphamide 50-76-0, Actinomycin D 50-91-9, Floxuridine 51-21-8, 5-Fluorouracil 52-53-9, Verapamil 55-98-1, Busulfan 57-22-7, Vincristine 59-05-2, Methotrexate 70-51-9, Deferoxamine 127-07-1, Hydroxyurea 147-94-4, Cytarabine 154-42-7, Thioguanine 154-93-8, Carmustine 299-75-2, Treosulfan 305-03-3, Chlorambucil 574-93-6, Phthalocyanine 865-21-4, Vinblastine 3094-09-5, Doxifluridine 3562-63-8, Megestrol 3778-73-2, Ifosfamide 4342-03-4, Dacarbazine 9060-10-0, Bleomycin B2 10540-29-1, Tamoxifen 11116-31-7, Bleomycin A2 13010-47-4, Lomustine 13311-84-7, Flutamide 15663-27-1, Cisplatin 20830-81-3, Daunorubicin 21679-14-1, Fludarabine 22089-22-1, Trofosfamide 23214-92-8, Doxorubicin 24280-93-1, Mycophenolic acid 29767-20-2, Teniposide 31441-78-8, Mercaptopurine 33069-62-4, Paclitaxel 33419-42-0, Etoposide 36791-04-5, Ribavirin 41575-94-4, Carboplatin 48134-75-4, 1-Methyl-4-phenylpyridinium 52128-35-5, Trimetrexate 53643-48-4, Vindesine 54083-22-6, Zorubicin 56420-45-2, Epirubicin 58957-92-9, Idarubicin 60084-10-8, Tiazofurin 62996-74-1, Staurosporine 65271-80-9, Mitoxantrone 67526-95-8, Thapsigargin 68247-85-8, Peplomycin 71486-22-1, Vinorelbine 72496-41-4, Pirarubicin 74381-53-6, Leuprolide acetate 75330-75-5, Lovastatin 84449-90-1, Raloxifene 90357-06-5, Bicalutamide 91421-43-1, 9-Aminocamptothecin 96389-68-3, Crisnatol 118908-07-9, EICAR 123948-87-8, Topotecan 129497-78-5, BPD-MA 131875-08-6, KH 1060 167678-65-1, CB 1093

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (anticancer agent; prepn. of anilinopyrimidines as IKK inhibitors)

IT 50-33-9, Phenylbutazone, biological studies 50-78-2, Acetylsalicylic acid 53-86-1, Indomethacin 57-66-9, Probenecid 57-96-5, Sulfipyrazone 58-15-1, Aminopyrine 60-80-0, Antipyrine 61-68-7, Mefenamic acid 64-86-8, Colchicine 69-72-7, Salicylic acid, biological studies 103-90-2, Acetaminophen 119-36-8, Methylsalicylate 129-20-4, Oxyphenbutazone 315-30-0, Allopurinol 552-94-3, Salsalate 599-79-1, Sulfasalazine 3562-84-3, Benzbromarone 6385-02-0, Meclofenamate sodium 12192-57-3, Aurothioglucose 12244-57-4, Gold sodium thiomalate

13539-59-8, Apazone 15307-86-5, Dichlofenac 15687-27-1, Ibuprofen
15722-48-2, Olsalazine 21256-18-8, Oxaprozin 22071-15-4, Ketoprofen
22204-53-1, Naproxen 22494-42-4, Diflunisal 26159-34-2, Naproxen
sodium 26171-23-3, Tolmetin 29679-58-1, Fenoprofen 34031-32-8,
Auranofin 36322-90-4, Piroxicam 38194-50-2, Sulindac 41340-25-4,
Etodolac 42924-53-8, Nabumetone 51803-78-2, Nimesulide 59804-37-4,
Tenoxicam 71125-38-7, Meloxicam 74103-06-3, Ketorolac 90101-16-9,
Droxycam 99464-64-9, Ampiroxicam 111406-87-2, Zileuton 162011-90-7,
Rofecoxib 169590-42-5, Celecoxib 170277-31-3, Infliximab
185243-69-0, Enbrel

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiinflammatory agent; prepn. of anilinopyrimidines as IKK
inhibitors)

IT 159606-08-3, IKK protein kinase 362517-43-9, IKK-2 kinase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of anilinopyrimidines as IKK inhibitors)

IT 434945-83-2P 434947-59-8P 434947-63-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of anilinopyrimidines as IKK inhibitors)

IT 434944-82-8P 434944-84-0P 434944-85-1P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of anilinopyrimidines as IKK inhibitors)

IT

434947-33-8P 434947-34-9P 434947-35-0P
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434950-32-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of anilinopyrimidines as IKK inhibitors)

IT

434950-33-1P 434950-34-2P 434950-35-3P
434950-36-4P 434950-37-5P 434950-38-6P

434950-39-7P 434950-40-0P 434950-41-1P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of anilinopyrimidines as IKK inhibitors)

IT 98-09-9, Benzenesulfonyl chloride 99-91-2, 1-(4-Chlorophenyl)ethan-1-one
 100-19-6 106-54-7, p-Chlorobenzenethiol 109-01-3, N-Methylpiperazine
 110-91-8, Morpholine, reactions 877-96-3 1768-64-5,
 4-Chlorotetrahydropyran 1788-10-9, 4-Acetylbenzenesulfonyl chloride
 2637-34-5, 2-Mercaptopyridine 2835-68-9, 4-Aminobenzamide 4556-23-4,
 4-Mercaptopyridine 5308-25-8, N-Ethylpiperazine 14970-83-3,
 4-Hydroxybutanethiol 16060-65-4, 4-Guanidinobenzoic acid 16133-26-9,
 3-Mercaptopyridine 18503-89-4, N,N-Dimethylformamide diisopropylacetal
 19721-22-3, 3-Mercaptopropanol 38430-55-6, Ethyl 4-acetylbenzoate
 40004-08-8, Ethyl 2-piperazinyllacetate 40172-95-0 112677-03-9, Methyl
 4-(guanidino)benzoate hydrochloride 309271-25-8 434950-69-3
 434950-70-6 434950-71-7 434950-72-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of anilinopyrimidines as IKK inhibitors)

IT 58722-35-3P 67382-35-8P 78089-99-3P 99433-25-7P 122228-09-5P,
 4-Guanidinobenzoic acid methyl ester 175203-08-4P, 4-(4-
 Chlorophenyl)pyrimidine-2-thiol 178055-98-6P 203246-71-3P
 434941-55-6P, 4-(4-Chlorophenyl)-2-methylthiopyrimidine 434941-56-7P,
 4-(4-Chlorophenyl)-2-(methylsulfonyl)pyrimidine 434941-57-8P,
 N-[(4-Aminocarbonyl)phenyl]guanidine nitrate 434941-58-9P 434941-60-3P
 434941-61-4P 434950-54-6P 434950-55-7P 434950-56-8P,
 4-[4-(4-Chlorophenyl)pyrimidin-2-ylamino]benzoic acid 434950-57-9P
 434950-58-0P 434950-59-1P 434950-60-4P
 434950-61-5P 434950-62-6P 434950-63-7P 434950-64-8P
 434950-65-9P 434950-66-0P 434950-67-1P 434950-68-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of anilinopyrimidines as IKK inhibitors)

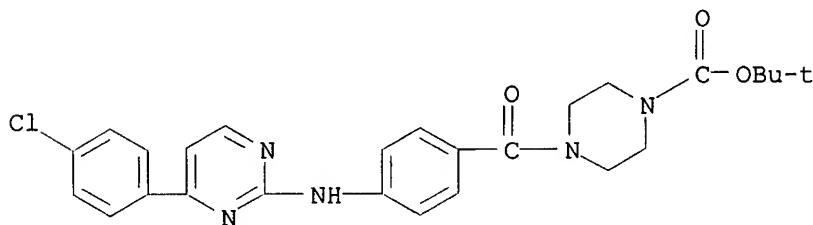
IT 434945-83-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of anilinopyrimidines as IKK inhibitors)

RN 434945-83-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2003 ACS on STN

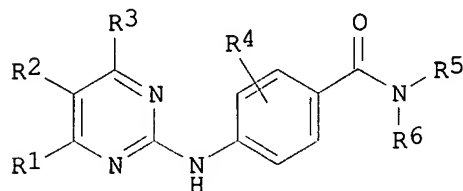
AN 2002:449661 HCAPLUS

DN 137:33309

TI Preparation of anilinopyrimidines as JNK pathway inhibitors

IN Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.; Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
 PA **Signal Pharmaceuticals, Inc., USA**
 SO PCT Int. Appl., 199 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D239-00
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 10
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046170	A2	20020613	WO 2001-US46402	20011205 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002027214	A5	20020618	AU 2002-27214	20011205 <--
PRAI US 2000-251904P	P	20001206 <--		
WO 2001-US46402	W	20011205		
OS MARPAT 137:33309				
GI				



AB The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H, alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl, etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were prepd. E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H] having an IC50 of .ltoreq. 10 .mu.M in the JNK2 assay, was given. Such compds. I have utility in the treatment of a wide range of conditions that are responsive to inhibition of the JNK pathway. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. contg. one or more compds. of the above compds.

ST anilinopyrimidine prepn JNK inhibitor

IT Intestine, disease
 (Crohn's; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Respiratory distress syndrome
 (acute, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Nose, disease
 (allergic rhinitis, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Nervous system, disease
 (amyotrophic lateral sclerosis, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Antiarteriosclerotics

(antiatherosclerotics; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Tumor necrosis factors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticancer agent; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Bronchi, disease
(bronchitis, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Uterus
(cervix, inhibitors; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Lung, disease
(chronic obstructive, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Intestine, disease
(colitis, treatment of mucous colitis; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Intestine, neoplasm
(colon, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Intestine, neoplasm
(colorectal, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Eye, disease
(conjunctivitis, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Artery, disease
(coronary, restenosis, treatment of restenosis following angioplasty; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Metabolism, animal
(disorder, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Esophagus, disease
(esophagitis, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Stomach, disease
(gastritis; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Transplant and Transplantation
(graft-vs.-host reaction, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Sexual behavior
(impotence, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Heart, disease
(infarction, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Intestine, disease
(inflammatory, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Bladder, neoplasm
Esophagus, neoplasm
Kidney, neoplasm
Larynx, neoplasm
Mouth, neoplasm
Ovary, neoplasm
Pharynx, neoplasm
Testis, neoplasm
Uterus, neoplasm
(inhibitors; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Intestine, disease
(irritable bowel syndrome, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Brain, disease
(ischemia; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Heart, disease
(left ventricle, hypertrophy, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Neck, anatomical
(neoplasm, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Kidney, disease
(nephritis, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Diabetes mellitus
(non-insulin-dependent, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Pancreas, disease
(pancreatitis, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Nose, neoplasm
(polyp, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Allergy inhibitors
Anti-inflammatory agents
Anti-ischemic agents
Antiasthmatics
Anticonvulsants
Antidiabetic agents
Antirheumatic agents
Antitumor agents
Antiviral agents
Cardiovascular agents
Epilepsy
Human
Immunosuppressants
Multiple organ failure
Transplant rejection
(prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Shock (circulatory collapse)
(septic, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Spinal column, disease
(spondylitis, treatment of rheumatoid spondylitis; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Brain, disease
(stroke; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Ischemia
(treatment of ischemic diseases of kidney and liver; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT AIDS (disease)
Alzheimer's disease
Asthma
Autoimmune disease
Brain, neoplasm
Bronchi, neoplasm
Cachexia
Cystic fibrosis
Dermatitis
Eczema
Gout
Head, neoplasm
Heart, disease
Hepatitis
Hepatitis B virus
Hepatitis C virus

Human T-lymphotropic virus
 Human herpesvirus 4
 Inflammation
 Liver, neoplasm
 Lung, neoplasm
 Lupus erythematosus
 Multiple sclerosis
 Osteoarthritis
 Osteoporosis
 Pancreas, neoplasm
 Parkinson's disease
 Prostate gland, neoplasm
 Psoriasis
 Purpura (disease)
 Rheumatoid arthritis
 Sepsis
 Skin, neoplasm
 Stomach, neoplasm

(treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Intestine, disease
 (ulcerative colitis, treatment of; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Interferons
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (.alpha., anticancer agent; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT Interferons
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (.gamma., anticancer agent; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT 50-07-7 50-18-0, Cyclophosphamide 50-76-0, Actinomycin D 50-91-9, Floxuridine 51-21-8, 5-Fluorouracil 52-53-9, Verapamil 55-98-1, Busulfan 57-22-7, Vincristine 59-05-2, Methotrexate 70-51-9, Deferoxamine 127-07-1, Hydroxyurea 147-94-4, Cytarabine 154-42-7, Thioguanine 154-93-8, Carmustine 299-75-2, Treosulfan 305-03-3, Chlorambucil 574-93-6, Phthalocyanine 865-21-4, Vinblastine 3094-09-5, Doxifluridine 3562-63-8, Megestrol 3778-73-2, Ifosfamide 4342-03-4, Dacarbazine 9060-10-0, Bleomycin B2 10540-29-1, Tamoxifen 11116-31-7, Bleomycin A2 13010-47-4, Lomustine 13311-84-7, Flutamide 15663-27-1, Cisplatin 20830-81-3, Daunorubicin 21679-14-1, Fludarabine 22089-22-1, Trofosfamide 23214-92-8, Doxorubicin 24280-93-1, Mycophenolic acid 29767-20-2, Teniposide 31441-78-8, Mercaptopurine 33069-62-4, Paclitaxel 33419-42-0, Etoposide 36791-04-5, Ribavirin 41575-94-4, Carboplatin 48134-75-4, 1-Methyl-4-phenylpyridinium 52128-35-5, Trimetrexate 53643-48-4, Vindesine 54083-22-6, Zorubicin 56420-45-2, Epirubicin 58957-92-9, Idarubicin 60084-10-8, Tiazofurin 62996-74-1, Staurosporine 65271-80-9, Mitoxantrone 67526-95-8, Thapsigargin 68247-85-8, Peplomycin 71486-22-1, Vinorelbine 72496-41-4, Pirarubicin 74381-53-6, Leuprolide acetate 75330-75-5, Lovastatin 84449-90-1, Raloxifene 90357-06-5, Bicalutamide 91421-43-1, 9-Aminocamptothecin 96389-68-3, Crisnatol 118908-07-9, EICAR 123948-87-8, Topotecan 129497-78-5, BPD-MA 131875-08-6, KH 1060 167678-65-1, CB 1093

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (anticancer agent; prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT 50-33-9, Phenylbutazone, biological studies 50-78-2, Acetylsalicylic acid 53-86-1, Indomethacin 57-66-9, Probenecid 57-96-5, Sulfapyrazone 58-15-1, Aminopyrine 60-80-0, Antipyrine 61-68-7, Mefenamic acid 64-86-8, Colchicine 69-72-7, Salicylic acid, biological studies 103-90-2, Acetaminophen 119-36-8, Methylsalicylate 129-20-4, Oxyphenbutazone 315-30-0, Allopurinol 552-94-3, Salsalate 599-79-1, Sulfasalazine 3562-84-3, Benzbromarone 6385-02-0, Meclofenamate sodium

12192-57-3, Aurothioglucose 12244-57-4, Gold sodium thiomalate
 13539-59-8, Apazone 15307-86-5, Dichlofenac 15687-27-1, Ibuprofen
 15722-48-2, Olsalazine 21256-18-8, Oxaprozin 22071-15-4, Ketoprofen
 22204-53-1, Naproxen 22494-42-4, Diflunisal 26159-34-2, Naproxen
 sodium 26171-23-3, Tolmetin 29679-58-1, Fenoprofen 34031-32-8,
 Auranofin 36322-90-4, Piroxicam 38194-50-2, Sulindac 41340-25-4,
 Etodolac 42924-53-8, Nabumetone 51803-78-2, Nimesulide 59804-37-4,
 Tenoxicam 71125-38-7, Meloxicam 74103-06-3, Ketorolac 90101-16-9,
 Droxicam 99464-64-9, Ampiroxicam 111406-87-2, Zileuton 162011-90-7,
 Rofecoxib 169590-42-5, Celecoxib 170277-31-3, Infliximab
 185243-69-0, Enbrel

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (antiinflammatory agent; prepn. of anilinopyrimidines as JNK pathway
 inhibitors)

IT 289899-93-0, JNK2 kinase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT 434945-83-2P 434947-59-8P 434947-63-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT 434944-82-8P 434944-84-0P 434944-85-1P

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434947-32-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT 434947-33-8P 434947-34-9P 434947-35-0P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT 434950-33-1P 434950-34-2P 434950-35-3P

434950-36-4P 434950-37-5P 434950-38-6P
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 434950-51-3P 434950-52-4P 434950-53-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT 98-09-9, Benzenesulfonyl chloride 99-91-2, 1-(4-Chlorophenyl)ethan-1-one
 100-19-6 106-54-7, p-Chlorobenzenethiol 109-01-3, N-Methylpiperazine
 110-91-8, Morpholine, reactions 877-96-3 1768-64-5,
 4-Chlorotetrahydropyran 1788-10-9, 4-Acetylbenzenesulfonyl chloride
 2637-34-5, 2-Mercaptopyridine 2835-68-9, 4-Aminobenzamide 4556-23-4,
 4-Mercaptopyridine 5308-25-8, N-Ethylpiperazine 14970-83-3,
 4-Hydroxybutanethiol 16060-65-4, 4-Guanidinobenzoic acid 16133-26-9,
 3-Mercaptopyridine 18503-89-4, N,N-Dimethylformamide diisopropylacetal
 19721-22-3, 3-Mercaptopropanol 38430-55-6, Ethyl 4-acetylbenzoate
 40004-08-8, Ethyl 2-piperazinylacetate 40172-95-0 112677-03-9, Methyl
 4-(guanidino)benzoate hydrochloride 309271-25-8 434950-69-3
 434950-70-6 434950-71-7 434950-72-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of anilinopyrimidines as JNK pathway inhibitors)

IT 58722-35-3P 67382-35-8P 78089-99-3P 99433-25-7P 122228-09-5P,
 4-Guanidinobenzoic acid methyl ester 175203-08-4P, 4-(4-
 Chlorophenyl)pyrimidine-2-thiol 178055-98-6P 203246-71-3P
 434941-55-6P, 4-(4-Chlorophenyl)-2-methylthiopyrimidine 434941-56-7P
 434941-57-8P 434941-58-9P 434941-60-3P 434941-61-4P 434950-54-6P
434950-55-7P 434950-56-8P 434950-57-9P 434950-58-0P
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434950-62-6P 434950-63-7P 434950-64-8P 434950-65-9P
 434950-66-0P 434950-67-1P 434950-68-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of anilinopyrimidines as JNK pathway inhibitors)

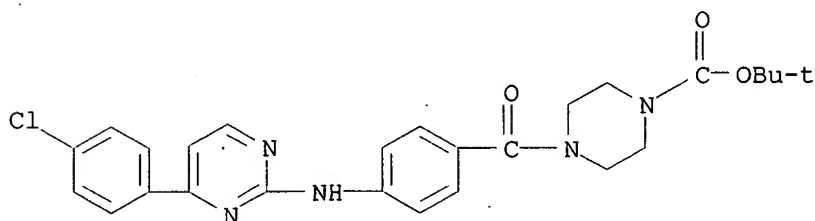
IT **434945-83-2P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of anilinopyrimidines as JNK pathway inhibitors)

RN 434945-83-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[4-(4-chlorophenyl)-2-pyrimidinyl]amino]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



=> fil uspatall

FILE 'USPATFULL' ENTERED AT 12:11:16 ON 27 AUG 2003

CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 12:11:16 ON 27 AUG 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> d his 113-

(FILE 'USPATFULL, USPAT2' ENTERED AT 12:10:09 ON 27 AUG 2003)

L13 5 S L3
L14 5 S L13 AND (PY<=2000 OR PRY<=2000)
L15 0 S L13 AND (BHAGWAT ? OR YOSHITAKA ?)/AU
L16 0 S L13 AND SIGNAL?/PA
L17 5 S L13,L14 AND A61K/IC, ICM, ICS

FILE 'USPATFULL, USPAT2' ENTERED AT 12:11:16 ON 27 AUG 2003

=> d bib abs hitstr tot 117

L17 ANSWER 1 OF 5 USPATFULL on STN
AN 2003:214408 USPATFULL
TI Inhibitors of c-Jun N terminal kinases (JNK) and other protein kinases
IN Green, Jeremy, Burlington, MA, UNITED STATES
Bemis, Guy, Arlington, MA, UNITED STATES
Grillot, Anne-Laure, Cambridge, MA, UNITED STATES
Ledebouer, Mark, Acton, MA, UNITED STATES
Salituro, Francesco G., Marlboro, MA, UNITED STATES
Harrington, Edmund, South Boston, MA, UNITED STATES
Gao, Huai, Natick, MA, UNITED STATES
Baker, Christopher, Bedford, MA, UNITED STATES
Cao, Jingrong, Newton, MA, UNITED STATES
Hale, Michael, Bedford, MA, UNITED STATES
PI US 2003149051 A1 20030807
AI US 2002-74177 A1 20020212 (10)
RLI Continuation of Ser. No. WO 2000-US22445, filed on 11 Aug 2000, PENDING
PRAI US 1999-148795P 19990813 (60) <--
US 1999-166922P 19991122 (60) <--
US 2000-211517P 20000614 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130Waverly Street, Cambridge, MA,
02130-4646
CLMN Number of Claims: 24
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2022
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides compounds of formula I: ##STR1##

where R.sup.1 is H, CONH.sub.2, T.sub.(n)--R, or T.sub.(n)--Ar.sup.2, n may be zero or one, and G, XYZ, and Q are as described below. These compounds are inhibitors of protein kinase, particularly inhibitors of JNK, a mammalian protein kinase involved cell proliferation, cell death and response to extracellular stimuli. The invention also relates to methods for producing these inhibitors. The invention also provides pharmaceutical compositions comprising the inhibitors of the invention and methods of utilizing those compositions in the treatment and prevention of various disorders.

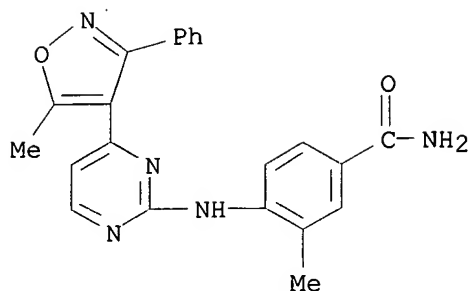
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 326818-24-0

(prepn. of as isoxazolylpyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

RN 326818-24-0 USPATFULL

CN Benzamide, 3-methyl-4-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L17 ANSWER 2 OF 5 USPTAFULL on STN
 AN 2003:109109 USPTAFULL
 TI 2-pyrimidineamine derivatives and processes for their preparation
 IN Davis, Peter David, Aston Rowant, UNITED KINGDOM
 Moffat, David Festus Charles, Maidenhead, UNITED KINGDOM
 Batchelor, Mark James, Cumnor Hill, UNITED KINGDOM
 Hutchings, Martin Clive, Wokingham, UNITED KINGDOM
 Parry, David Mark, Twyford, UNITED KINGDOM
 PA Celltech R&D Limited, Slough, UNITED KINGDOM (non-U.S. corporation)
 PI US 6552029 B1 20030422
 AI US 1999-420755 19991020 (9)
 RLI Continuation of Ser. No. US 1997-958419, filed on 27 Oct 1997, now
 patented, Pat. No. US 6114333
 PRAI GB 1996-22363 19961028 <--
 DT Utility
 FS GRANTED
 EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: McKenzie, Thomas
 LREP Woodcock Washburn LLP
 CLMN Number of Claims: 13
 ECL Exemplary Claim: 1
 DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
 LN.CNT 2420
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB Compounds of general formula (1) ##STR1##

are described wherein:

Ar is an optionally substituted aromatic group;

R^{sup.2} is a hydrogen or halogen atom or a group --X^{sup.1}--R^{sup.2a} where X^{sup.1} is a direct bond or a linker atom or group, and R^{sup.2a} is an optionally substituted straight or branched chain alkyl, alkenyl or alkynyl group;

R^{sup.3} is an optionally substituted heterocycloalkyl group;

and the salts, solvates, hydrates and N-oxides thereof.

The compounds are selective protein tyrosine kinase inhibitors, particularly the kinases ZAP-70 and syk and are of use in the prophylaxis and treatment of immune or allergic diseases and diseases involving inappropriate platelet activation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

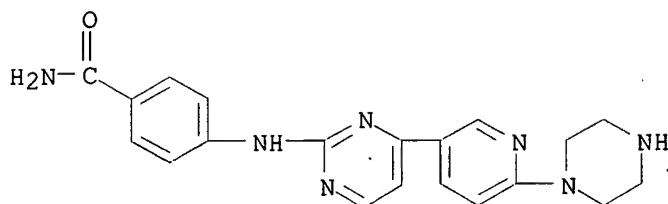
IT 207283-10-1P

(2-pyrimidineamines and their prepn.)

RN 207283-10-1 USPTAFULL

CN Benzamide, 4-[[4-[6-(1-piperazinyl)-3-pyridinyl]-2-pyrimidinyl]amino]-

(9CI) (CA INDEX NAME)



L17 ANSWER 3 OF 5 USPATFULL on STN

AN 2000:117714 USPATFULL

TI 2-Pyrimidineamine derivatives and processes for their preparation

IN Davis, Peter David, Aston Rowant, United Kingdom

Moffat, David Festus Charles, Maidenhead, United Kingdom

Batchelor, Mark James, Cumnor Hill, United Kingdom

Hutchings, Martin Clive, Wokingham, United Kingdom

Parry, David Mark, Twyford, United Kingdom

PA Celltech Therapeutics Ltd., United Kingdom (non-U.S. corporation)

PI US 6114333 20000905 <--

AI US 1997-958419 19971027 (8)

PRAI GB 1996-22363 19961028 <--

DT Utility

FS Granted

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Kessinger, Ann

LREP Woodcock Washburn Kurtz Mackiewicz & Norris LLP

CLMN Number of Claims: 6

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1938

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of general formula (1) ##STR1## are described wherein: Ar is an optionally substituted aromatic group;

R.sup.2 is a hydrogen or halogen atom or a group --X.sup.1 --R.sup.2a where X.sup.1 is a direct bond or a linker atom or group, and R.sup.2a is an optionally substituted straight or branched chain alkyl, alkenyl or alkynyl group;

R.sup.3 is an optionally substituted heterocycloalkyl group; and the salts, solvates, hydrates and N-oxides thereof.

The compounds are selective protein tyrosine kinase inhibitors, particularly the kinases ZAP-70 and syk and are of use in the prophylaxis and treatment of immune or allergic diseases and diseases involving inappropriate platelet activation.

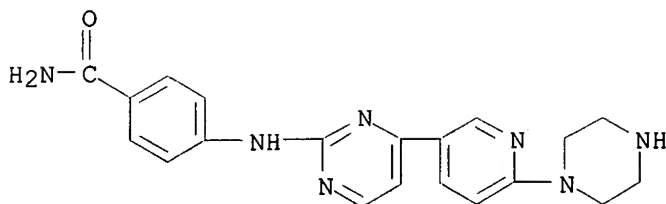
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 207283-10-1P

(2-pyrimidineamines and their prepn.)

RN 207283-10-1 USPATFULL

CN Benzamide, 4-[[4-[6-(1-piperazinyl)-3-pyridinyl]-2-pyrimidinyl]amino]-
(9CI) (CA INDEX NAME)



L17 ANSWER 4 OF 5 USPATFULL on STN

AN 89:87539 USPATFULL

TI 4,5,6-substituted-N-(substituted-phenyl)-2-pyrimidinamines

IN Torley, Lawrence W., Washingtonville, NY, United States

Johnson, Bernard D., Stony Point, NY, United States

Dusza, John P., Nanuet, NY, United States

PA American Cyanamid Company, Wayne, NJ, United States (U.S. corporation)

PI US 4876252 19891024 <--

AI US 1988-194751 19880517 (7)

RLI Division of Ser. No. US 1986-927572, filed on 6 Nov 1986, now patented,
Pat. No. US 4788195 which is a continuation-in-part of Ser. No. US
1986-817951, filed on 13 Jan 1986, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Hollrah, Glennon H.; Assistant Examiner: Turnipseed,
James H.

LREP Dow, Kenneth J., Conroy, Jr., Edward A.

CLMN Number of Claims: 1

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2394

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This disclosure describes novel 4,5,6-substituted-N-(substituted-phenyl)-
2-pyrimidinamines having antiasthmatic activity.

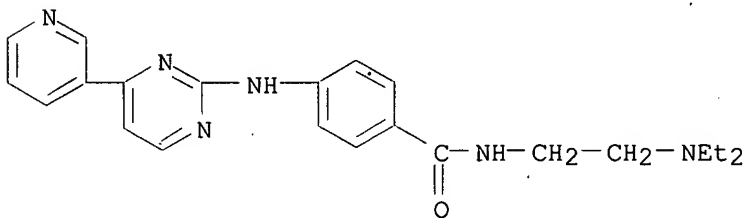
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 112676-85-4P 112676-86-5P

(prepn. of, as drug)

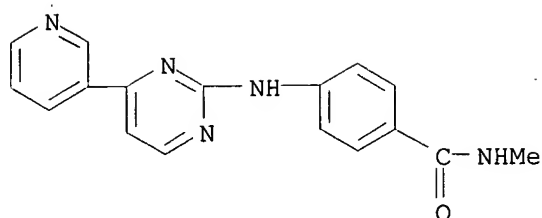
RN 112676-85-4 USPATFULL

CN Benzamide, N-[2-(diethylamino)ethyl]-4-[[4-(3-pyridinyl)-2-
pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 112676-86-5 USPATFULL

CN Benzamide, N-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA
INDEX NAME)



L17 ANSWER 5 OF 5 USPATFULL on STN

AN 88:77489 USPATFULL

TI 4,5,6-substituted-N-(substituted-phenyl)-2-pyrimidinamines

IN Torley, Lawrence W., Washingtonville, NY, United States

Johnson, Bernard D., Rockland, NY, United States

Dusza, John P., Rockland, NY, United States

PA American Cyanamid Company, Stamford, CT, United States (U.S. corporation)

PI US 4788195 19881129 <--

AI US 1986-927572 19861106 (6)

RLI Continuation-in-part of Ser. No. US 1986-817951, filed on 13 Jan 1986, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Hollrah, Glennon H.; Assistant Examiner: Turnipseed, James H.

LREP Conroy, Edward A.

CLMN Number of Claims: 16

ECL Exemplary Claim: 1,16

DRWN No Drawings

LN.CNT 2426

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This disclosure describes novel 4,5,6-substituted-N-(substituted-phenyl)-2-pyrimidinamines having anti-asthmatic activity.

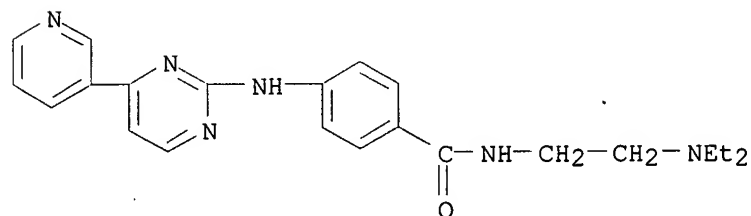
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 112676-85-4P 112676-86-5P

(prepn. of, as drug)

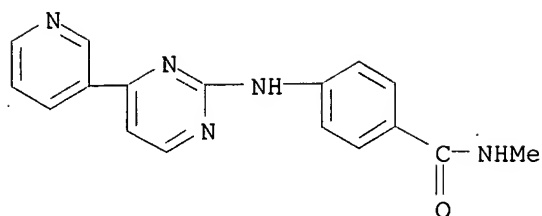
RN 112676-85-4 USPATFULL

CN Benzamide, N-[2-(diethylamino)ethyl]-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 112676-86-5 USPATFULL

CN Benzamide, N-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 11:58:28 ON 27 AUG 2003)
SET COST OFF

FILE 'REGISTRY' ENTERED AT 11:58:42 ON 27 AUG 2003

L1 STR
L2 24 S L1 CSS
L3 519 S L1 CSS FUL
SAV L3 JKIM046/A

FILE 'HCAPLUS' ENTERED AT 12:05:17 ON 27 AUG 2003

L4 13 S L3
L5 2 S L4 AND (BHAGWAT ? OR YOSHITAKA ?)/AU
L6 2 S L4 AND SIGNAL?/PA,CS
L7 11 S L4 NOT L5,L6
L8 7 S L4 AND (PY<=2000 OR PRY<=2000 OR AY<=2000)
L9 7 S L5,L6,L8
L10 5 S L9 NOT L5,L6
SEL HIT RN

FILE 'REGISTRY' ENTERED AT 12:07:33 ON 27 AUG 2003

L11 9 S E1-E9

FILE 'REGISTRY' ENTERED AT 12:08:57 ON 27 AUG 2003

FILE 'HCAPLUS' ENTERED AT 12:09:15 ON 27 AUG 2003

L12 2 S L9 NOT L10

FILE 'USPATFULL, USPAT2' ENTERED AT 12:10:09 ON 27 AUG 2003

L13 5 S L3
L14 5 S L13 AND (PY<=2000 OR PRY<=2000)
L15 0 S L13 AND (BHAGWAT ? OR YOSHITAKA ?)/AU
L16 0 S L13 AND SIGNAL?/PA
L17 5 S L13,L14 AND A61K/IC,ICM,ICS

FILE 'USPATFULL, USPAT2' ENTERED AT 12:11:16 ON 27 AUG 2003